10723208

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	4	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	5	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	6	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	7	MAR 02	GBFULL: New full-text patent database on STN
NEWS	8	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	9	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	10	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	11	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	12	MAR 22	PATDPASPC - New patent database available
NEWS	13	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 21:48:09 ON 03 APR 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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FILE 'REGISTRY' ENTERED AT 21:48:18 ON 03 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3
DICTIONARY FILE UPDATES: 1 APR 2005 HIGHEST RN 847818-85-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

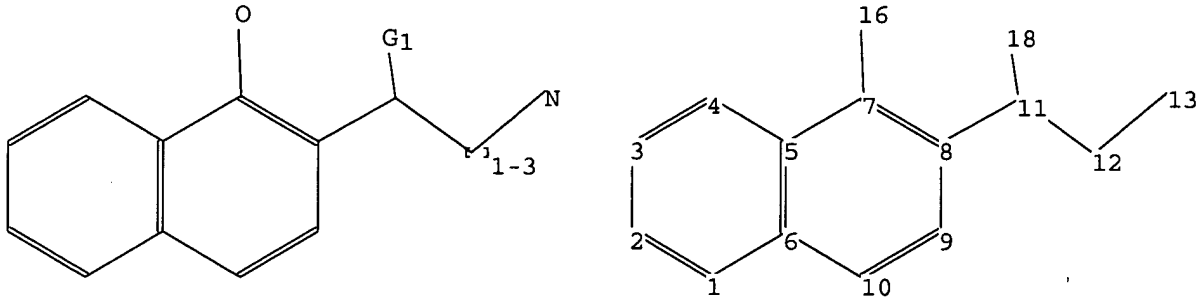
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

****
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10723208.str



chain nodes :
11 12 13 16 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
7-16 8-11 11-12 11-18 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
7-16 11-18 12-13
exact bonds :
8-11 11-12

10723208

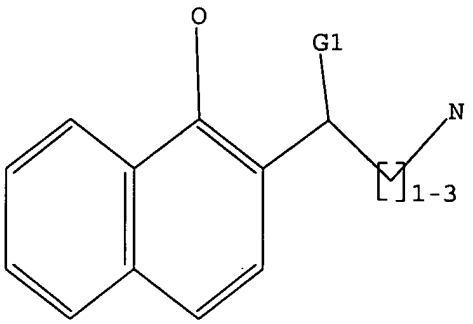
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

G1:H,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 16:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 H,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 21:48:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 336 TO ITERATE

100.0% PROCESSED 336 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5621 TO 7819
PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 21:48:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7444 TO ITERATE

100.0% PROCESSED 7444 ITERATIONS 108 ANSWERS
SEARCH TIME: 00.00.01

L3 108 SEA SSS FUL L1

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=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 21:48:54 ON 03 APR 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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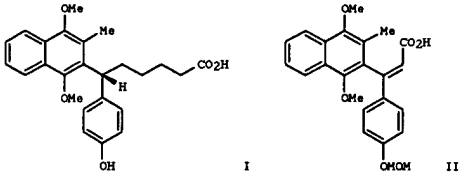
FILE COVERS 1907 - 3 Apr 2005  VOL 142 ISS 15
FILE LAST UPDATED: 1 Apr 2005  (20050401/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l3
L4           55 L3

=> d ibib abs hitstr tot
```

L4 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:769030 CAPLUS
DOCUMENT NUMBER: 141:410687
TITLE: Asymmetric synthesis of (R)-(+)-6-(1,4-dimethoxy-3-methyl-2-naphthyl)-6-(4-hydroxyphenyl)hexanoic acid as a key intermediate for a neurodegenerative disease agent
AUTHOR(S): Ikemoto, Tomomi; Nagata, Toshiaki; Yamano, Mitsuhisa; Ito, Tatsuya; Mizuno, Yukio; Tomimatsu, Kiminori
CORPORATE SOURCE: Chemical Development Laboratories, Takeda Chemical Industries, Ltd., Yodogawa-ku, Osaka, 532-8686, Japan
SOURCE: Tetrahedron Letters (2004), 45(41), 7757-7760
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

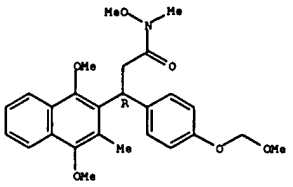
AB An asym. synthesis of (R)-(+)-6-(1,4-dimethoxy-3-methyl-2-naphthyl)-6-(4-hydroxyphenyl)hexanoic acid (I) as a key intermediate for a neurodegenerative disease agent has been developed. A key reaction was an asym. hydrogenation of hindered acrylic acid II, catalyzed by the Rh-JOSIPHOS system in the presence of a base, to afford a chiral acid with very good enantioselectivity.

IT 791096-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of naphthyl(hydroxyphenyl)hexanoic acid via recrystn. of chiral naphthyl(methoxymethyloxyphenyl)propanoic acid with brucine followed by reduction, olefination, hydrogenation, and deprotection)

RN 791096-78-1 CAPLUS
CN 2-Naphthalenepropanamide, N,1,4-trimethoxy-β-[4-(methoxymethoxy)phenyl]-N,3-dimethyl-, (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



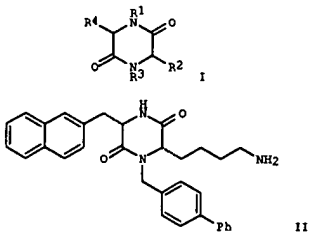
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:467872 CAPLUS
DOCUMENT NUMBER: 141:38848
TITLE: Preparation of piperazinedione derivatives for use in treating obesity
INVENTOR(S): Conde-Frieboes, Kilian Waldemar; Ankersen, Michael; Sensfuss, Ulrich; Wulff, Birgitte Schjellerup; Thogersen, Henning; Lustenberger, Philipp; Rudolf, Klaus; Krist, Bernd; Mueller, Stephan; Stenkamp, Dirk; Schindler, Marcus; Wieland, Heike; Arndt, Kirsten
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International G.m.b.H.
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048345	A2	20040610	WO 2003-DK797	20031120
WO 2004048345	A3	20040715		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2002-1806 A 20021122
OTHER SOURCE(S): MARPAT 141:38848
GI



I II

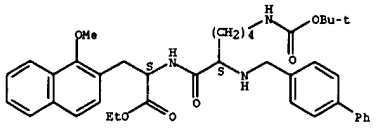
AB The invention relates to piperazinediones I [R1 = H or alk(en)(yn)yl; R2 =

L4 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
-(CH2)1-5-A, where A is an amino or guanidinyl group; R3 is -(CH2)0-2-E, where E is (un)substituted cycloalkyl, heterocyclyl, aryl or heteroaryl; R4 = -(CH2)0-2(CHG1)0-2-G2, where G1 is (un)substituted alkyl, alkoxy, cycloalkyl, cycloalkoxy, aryl or heteroaryl and G2 is cycloalkyl, heterocyclyl, aryl or heteroaryl] as well as any optical or geometric isomer or tautomer forms or pharmaceutically-acceptable salts for use as agonists of melanocortin receptors in the treatment of obesity. Thus, compd. II was prepd. and assayed for effect on food intake in rats (results shown graphically).

IT 702691-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazinedione derivs. for treating obesity)

RN 702691-47-2 CAPLUS
CN L-Alanine, N2-({1,1'-biphenyl]-4-ylmethyl)-N6-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-3-(1-methoxy-2-naphthalenyl)-, ethyl ester (9CI) (CA INDEX NAME)

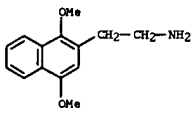
Absolute stereochemistry.



L4 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:27631 CAPLUS
DOCUMENT NUMBER: 139:190610
TITLE: QSAR of benzene derivatives: comparison of classical descriptors, quantum theoretic parameters and flip regression, exemplified by phenylalkylamine hallucinogens
AUTHOR(S): Clare, Brian W.
CORPORATE SOURCE: Department of Chemistry, The University of Western Australia, Crawley, 6009, Australia
SOURCE: Journal of Computer-Aided Molecular Design (2002), 16(8/9), 611-633
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A phys. model of electronic effects in the QSAR of benzene derivs., together with a regression technique for finding predictive equations, is presented. The model is simple, based on the quantum theoretic description of the benzene mol., and accounts for the variance in activity of hallucinogenic phenylalkylamines as well as a classical description in terms of electronic (atomic charge, orbital energy), hydrophobic (Hansch π) and steric (substituent volume) terms. The new model involves the energies of four π-like near frontier orbitals and the orientations of their nodes. It is less affected by colinearity than the classical approach. This model more than any other illustrates the essential wave mech. nature of the interaction of a drug with its receptor, as the π-like orbitals involved are standing waves of probability of finding an electron in a given location in the field of the atomic nuclei, and have no classical counterpart.

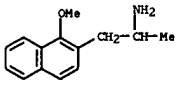
IT 207740-21-4
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR of benzene derivs. and comparison of classical descriptors, quantum theoretic parameters and flip regression, exemplified by phenylalkylamine hallucinogens)
RN 207740-21-4 CAPLUS
CN 2-Naphthaleneethanamine, 1,4-dimethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
derivs., are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compns. contg. one or more of the invention compds. In particular, compds. I are claimed [wherein R1, R2, R3 are independently chosen from H or an alkyl group; R4 is H or OR1; R5 is OCONR1R2, OCOR1, or OR7; R6 is H, OR7, CONR1R2, CH2OR7, CO2R1R2 (sic), NR1R2, with the proviso that both R5 and R6 are not H; X is at least one fused aryl group; A is chosen from H, an alkyl group, C(O)OR7, OR7, CR7, C(O)NR1R2 SO2NR1R2, halogen, or CF3; and R7 is H, (un)substituted alkyl group, C1-3 CONR1R2, C1-3 NR1R2, CO2H, or CO2(C1-3-alkyl)]. Twelve synthetic examples are given. For instance, 1,4-dimethoxynaphthalene underwent a sequence of (1) formylation in the 2-position using MeOCHCl2 and SnCl4; (2) condensation of the resultant aldehyde with EtNO2 to give the corresponding 1-aryl-2-nitropropene; and (3) complete redn. of the unsatd. nitro function using LiAlH4, to give title compd. II, isolated as the HCl salt. This salt bound to rat cortical 5-HT2 receptors in vitro with an IC50 of 0.73 nM, vs. 0.941 nM for 5-HT itself. II.HCl also acted as a 5-HT2 agonist in a phosphoinositide turnover assay, with an EC50 of 238 nM and an efficacy (Emax) of 118%, vs. 469 nM and 100% for 5-HT itself.

IT 477904-65-7P, 2-(1-Methoxynaphthalen-2-yl)-1-methylethylamine hydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of novel naphthylaminopropane analogs with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 477904-65-7 CAPLUS
CN 2-Naphthaleneethanamine, 1-methoxy-α-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 477904-62-4P, 2-(1,4-Dimethoxynaphthalen-2-yl)-1-methylethylamine hydrochloride 477904-63-5P, 2-Amino-1-(1,4-dimethoxynaphthalen-2-yl)propan-1-ol hydrochloride 477904-64-6P, (1S,2R)-2-Amino-1-(1,4-dimethoxynaphthalen-2-yl)propan-1-ol hydrochloride 477904-66-8P, 2-(4-Bromo-1-methoxynaphthalen-2-yl)-1-methylethylamine hydrochloride 477904-68-0P, 2-(1-Hydroxynaphthalen-2-yl)-1-methylethylamine hydrochloride 477904-73-7P, (1S,2R)-2-Amino-1-(1,4-dimethoxynaphthalen-2-yl)propan-1-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel naphthylaminopropane analogs with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 477904-62-4 CAPLUS

L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946090 CAPLUS
DOCUMENT NUMBER: 138:24554
TITLE: Novel arylaminopropane analogs, particularly naphthylaminopropane derivatives, with 5-HT2 receptor activity, and their use for lowering intraocular pressure in the treatment of glaucoma
INVENTOR(S): Hellberg, Mark R.; Namil, Abdelmoula
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098400	A1	20021212	WO 2002-US16842	20020530
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EP 1392269	A1	20040303	EP 2002-729314	20020530
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BR 2002010238	A	20040720	BR 2002-10238	20020530
JP 2004534781	T2	20041118	JP 2003-501440	20020530
US 2004110791	A1	20040610	US 2003-723208	20031126
PRIORITY APPLN. INFO.:			US 2001-295426P P	20010601
			WO 2002-US16842 W	20020530

OTHER SOURCE(S): MARPAT 138:24554
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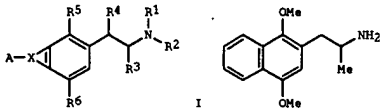
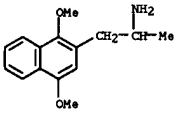


I II

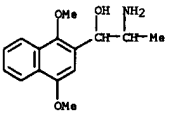
AB New arylaminopropane analogs, and particularly naphthylaminopropane

L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Naphthaleneethanamine, 1,4-dimethoxy-α-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

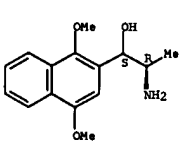
RN 477904-63-5 CAPLUS
CN 2-Naphthalenemethanol, α-(1-aminoethyl)-1,4-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 477904-64-6 CAPLUS
CN 2-Naphthalenemethanol, α-[(1R)-1-aminoethyl]-1,4-dimethoxy-, hydrochloride, (αS)- (9CI) (CA INDEX NAME)

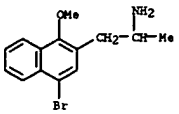
Absolute stereochemistry.



● HCl

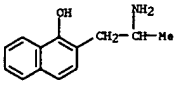
RN 477904-66-8 CAPLUS

L4 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Naphthaleneethanamine, 4-bromo-1-methoxy-α-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

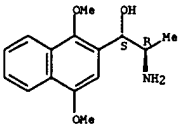
RN 477904-68-0 CAPLUS
CN 1-Naphthalenol, 2-(2-aminopropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 477904-73-7 CAPLUS
CN 2-Naphthalenemethanol, α-[(1R)-1-aminoethyl]-1,4-dimethoxy-, (αS)- (9CI) (CA INDEX NAME)

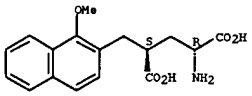
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
LiOH in aq. THF and workup.
IT 400625-58-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of D-glutamic acid derivs. as inhibitors of glutamate racemase)
RN 400625-58-3 CAPLUS
CN D-Glutamic acid, 4-[(1-methoxy-2-naphthalenyl)methyl]-, (4S)- (9CI) (CA INDEX NAME)

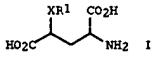
Absolute stereochemistry.



L4 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:142656 CAPLUS
DOCUMENT NUMBER: 136:200471
TITLE: Preparation of D-glutamic acid derivatives as inhibitors of glutamate racemase
INVENTOR(S): De Dios, Alfonso; Ezquerra-Carrera, Jesus; McGee, James Eugene; Martin, Jose Alfredo; Prieto, Lourdes; Rubio-Esteban, Almudena; Smith, Michele Ceceil; Tebbe, Mark Joseph
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

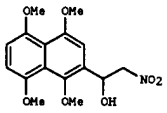
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014261	A2	20020221	WO 2001-US22589	20010809
WO 2002014261	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001078945	A5	20020225	AU 2001-78945	20010809
PRIORITY APPLN. INFO.:			ES 2000-2055	A 20000810
			US 2001-288361P	P 20010503
			WO 2001-US22589	W 20010809

OTHER SOURCE(S): MARPAT 136:200471
GI

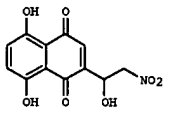


AB Compds. I [X is a bond, O, S, SO or SO2; R1 = (C1-10)alkyl, (C2-10)alkenyl or -alkynyl, (C4-10)alkadienyl, carboxamido- or aminocarbonyl(C1-8)alkyl which may be substituted by (C3-10)cycloalkyl or by one or two (un)substituted aromatic groups, provided that when X represents a bond, R1 can not represent a 3-phenyl-2-propenyl, 3-(4-chlorophenyl)-2-propenyl, 4-fluorobenzyl or 1-naphthylmethyl group] or their esters, amides or salts were prepared as inhibitors of glutamate racemase for use as antibiotics. Thus, (2R,4S)-2-amino-4-(2-naphthyl)methylpentanedioic acid was prepared by alkylation of D-Et N-(tert-butoxycarbonyl)pyroglutamate with 2-naphthylmethyl bromide, followed by ring cleavage/deprotection using

L4 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:564538 CAPLUS
DOCUMENT NUMBER: 133:321687
TITLE: A versatile synthesis of the 1,4-dihydroxynaphthoquinone nucleus
AUTHOR(S): Menegazzo, I.; Sandona, G.; Moro, S.; Sheeba, V.; Zagotto, G.
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Padova, Padua, 35131, Italy
SOURCE: Tetrahedron Letters (2000), 41(34), 6631-6634
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:321687
GI

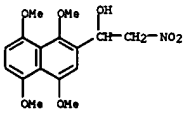


I



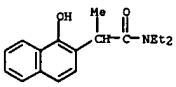
II

AB The electrochem. oxidation of methoxynaphthalenes, e.g., I, to afford the corresponding 5,8-dihydroxy-1,4-naphthoquinones, e.g. II, has been examined This method constitutes a new alternative and efficient route for the synthesis of the 5,8-dihydroxy-1,4-naphthoquinone nucleus.
IT 302942-30-9
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(cyclic voltammetry and electrochem. oxidation-deprotection of methoxynaphthalenes in preparation of dihydroxynaphthoquinones)
RN 302942-30-9 CAPLUS
CN 2-Naphthalenemethanol, 1,4,5,8-tetramethoxy-α-(nitromethyl)- (9CI) (CA INDEX NAME)



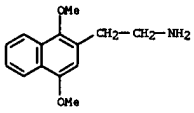
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:739823 CAPLUS
DOCUMENT NUMBER: 130:77422
TITLE: Phototransformation of napropamide [N,N-diethyl-2-(1-naphthyloxy)propionamide] in aqueous solution: influence on the toxicity of solutions
AUTHOR(S): Aguer, J. P.; Boule, P.; Bonnemoy, F.; Chezal, J. M.
CORPORATE SOURCE: Lab. Photochimie Moleculaire et Macromoleculaire, Universite Blaise Pascal-CNRS, Aubiere, F-63177, Fr.
SOURCE: Pesticide Science (1998), 54(3), 253-257
CODEN: PSSCBG; ISSN: 0031-613X
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The main photoproducts formed in an aqueous solution of napropamide irradiated in UV light are N,N-diethyl-2-(1-hydroxynaphthalen-2-yl)propionamide, N,N-diethyl-2-(4-hydroxynaphthalen-1-yl)propionamide and 1-naphthol. These account for c.60%, 15% and 10% of napropamide converted resp. No influence of the irradiation wavelength or of oxygen was observed The same products were obtained by irradiation of methanolic solns. The three identified products result from the cleavage of naphthoxy-carbon bond. The first two products imply a photo-Fries rearrangement. The influence of irradiation on the toxicity of the solns. was studied by the Microtox® test. The significant increase observed may be attributed partly to the formation of 1-naphthol.
IT 131933-41-0
RL: ADV (Adverse effect, including toxicity); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative)
(napropamide photoproduct in aqueous solution)
RN 131933-41-0 CAPLUS
CN 2-Naphthaleneacetamide, N,N-diethyl-1-hydroxy-α-methyl- (9CI) (CA INDEX NAME)



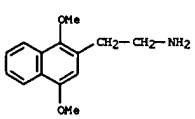
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:561957 CAPLUS
DOCUMENT NUMBER: 129:297936
TITLE: The Frontier Orbital Phase Angles: Novel QSAR Descriptors for Benzene Derivatives, Applied to Phenylalkylamine Hallucinogens
AUTHOR(S): Clare, Brian W.
CORPORATE SOURCE: Division of Science, Murdoch University, Murdoch, 6150, Australia
SOURCE: Journal of Medicinal Chemistry (1998), 41(20), 3845-3856
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new empirical electronic descriptor, obtained from a MO calcn. and applicable to benzene derivs., is proposed. It is shown that this descriptor, the frontier orbital phase angle, correlates very strongly with the pharmacol. activity in humans of a large series of hallucinogenic phenethylamines. In the largest QSAR study on such hallucinogens yet reported, it is demonstrated that the phase of mixing of degenerate frontier orbitals of benzene to form the frontier orbitals of the drug results in the best electronic descriptor yet found for hallucinogenic activity in phenylalkylamines.
IT 207740-21-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(frontier orbital phase angles as QSAR descriptors for benzene derivs. applied to phenylalkylamine hallucinogens)
RN 207740-21-4 CAPLUS
CN 2-Naphthaleneethanamine, 1,4-dimethoxy- (9CI) (CA INDEX NAME)

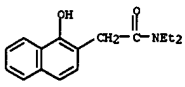


REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:207521 CAPLUS
DOCUMENT NUMBER: 129:12305
TITLE: Three-dimensional quantitative structure-activity relationships of hallucinogenic phenylalkanamine and tryptamine derivatives. Studies using comparative molecular field analysis (CoMFA)
AUTHOR(S): Beuerle, Gerald; Kovar, Karl Artur; Schulze-Alexandru, Meike
CORPORATE SOURCE: Inst. Pharmacy, Eberhard-Karls-Univ., Tuebingen, D-72076, Germany
SOURCE: Quantitative Structure-Activity Relationships (1997), 16(6), 447-458
CODEN: QSARDI; ISSN: 0931-8771
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Investigations of the quant. structure - activity relationships of a data set comprising 66 phenylalkanamines have been carried out using the CoMFA method. This yielded a cross-validated correlation coefficient (q2 value) of more than 0.8. The target parameter used was the hallucinogenic effect on humans, since this variable is of particular importance for research into addictive substances. It was possible to confirm the reliability of the CoMFA anal. by using a second, independent phenylalkanamine data set. It was found that models with good predictive properties are obtained if up to ten components are taken into account. In a further step it was possible to include hallucinogenic tryptamine derivs. in a common Qsar anal. with the phenylalkanamines and this in spite of their differing basic structures. The final model from that the CoMFA plots were extracted is based on 148 compds. and permits precise inferences to be made concerning the relationships between structural elements and hallucinogenic effects.
IT 207740-21-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(QSAR of hallucinogenic phenylalkanamine and tryptamine derivs. using comparative mol. field anal.)
RN 207740-21-4 CAPLUS
CN 2-Naphthaleneethanamine, 1,4-dimethoxy- (9CI) (CA INDEX NAME)



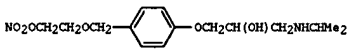
L4 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:522248 CAPLUS
DOCUMENT NUMBER: 127:234225
TITLE: Anionic homologous Fries rearrangement of O-(2-methylaryl)carbamates. A regiospecific route to benzo[b]furan-2(3H)-ones including an unnamed metabolite from Helenium species
AUTHOR(S): Kalinin, A. V.; Miah, M. A. J.; Chattopadhyay, S.; Tsukazaki, M.; Wicki, M.; Nguen, T.; Coelho, A. L.; Kerr, M.; Snieckus, V.
CORPORATE SOURCE: Guelph-Waterloo Center Graduate Work Chemistry, University Waterloo, Waterloo, ON, N2L 3G1, Can.
SOURCE: Synlett (1997), (7), 839-841
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Thieme
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:234225
AB A new LDA-mediated O → C carbamoyl migration provides a general and efficient route to aryl acetamides as precursors to benzo- and naphthofuranones, one of which serves as a starting material for a short synthesis of naturally-occurring 3-hydroxy-3-methylene-6-methyl-2(3H)-benzofuranone isolated from several Helenium species.
IT 195210-82-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzofuranones by anionic homologous Fries rearrangement of O-(methylaryl)carbamates.)
RN 195210-82-3 CAPLUS
CN 2-Naphthaleneacetamide, N,N-diethyl-1-hydroxy- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:648033 CAPLUS
DOCUMENT NUMBER: 123:82959
TITLE: Preparation of 1-aryloxy-3-alkylamino-2-propanol nitrate esters as cardiovascular agents
INVENTOR(S): Prat Quinones, Maria; Pi Sallent, Joan; Vedrilla Veit, Dagmar
PATENT ASSIGNEE(S): Prodesfarma, S. A., Spain
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 637583	A1	19950208	EP 1994-500111	19940623
EP 637583	B1	19961218		
R: AT, BE, CH, DE, DK, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ES 2065291	A1	19950201	ES 1993-1721	19930730
ES 2065291	B1	19951001		
AT 146453	E	19970115	AT 1994-500111	19940623
US 5502237	A	19960326	US 1994-265960	19940627
NO 9402568	A	19950131	NO 1994-2568	19940707
NO 179746	B	19960902		
NO 179746	C	19961211		
AU 9467437	A1	19950209	AU 1994-67437	19940714
AU 666626	B2	19960215		
CA 2128671	AA	19950131	CA 1994-2128671	19940722
ZA 9405435	A	19950511	ZA 1994-5435	19940722
PL 175707	B1	19990129	PL 1994-304406	19940722
JP 07089910	A2	19950404	JP 1994-175400	19940727
JP 2777572	B2	19980716		
HU 71813	A2	19960228	HU 1994-2229	19940729
HU 214827	B	19980629		
US 5639904	A	19970617	US 1995-514267	19950811

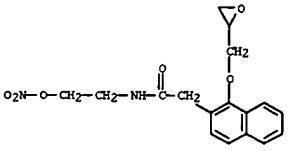
PRIORITY APPLN. INFO.: ES 1993-1721 A 19930730
US 1994-265960 A1 19940627
OTHER SOURCE(S): MARPAT 123:82959
GI



I

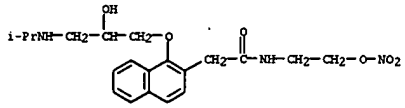
AB Title compds. R1ArOCH2CH(OH)CH2NHCHMe2 (R1 = R2Z(CH2)m where m = 1,2, Z = O, CONH, CO2-ester function, R2 = C2-3 straight or branched chain alkyl having at least one nitroxy group as substituent, Ar = benzene ring when Z is O or ester function, and a naphthalene ring when Z is CONH) are prepared 4-[(2-Nitroxyethoxy)methyl]phenol in EtOH and NaOH was added to epichlorohydrin to give 2,3-epoxy-1-[-4-(2-nitroxyethoxy)methyl]phenoxypro

L4 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

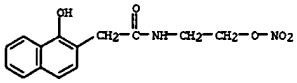


L4 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pane which was mixed with Me2CHNH2 to give the title compd. I. Coronary vasodilator and β1-adrenergic blocking activities were demonstrated. Pharmaceutical formulations comprising the title compds. are given.

IT 164340-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (aryloxy)(alkylamino)propanol nitrate esters as cardiovascular agents)
RN 164340-33-4 CAPLUS
CN 2-Naphthaleneacetamide, 1-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-N-[2-(nitrooxy)ethyl]- (9CI) (CA INDEX NAME)



IT 164340-45-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aryloxy)(alkylamino)propanol nitrate esters as cardiovascular agents)
RN 164340-45-8 CAPLUS
CN 2-Naphthaleneacetamide, 1-hydroxy-N-[2-(nitrooxy)ethyl]- (9CI) (CA INDEX NAME)

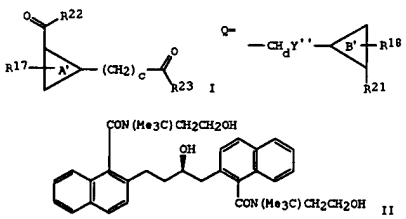


IT 164340-40-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aryloxy)(alkylamino)propanol nitrate esters as cardiovascular agents)
RN 164340-40-3 CAPLUS
CN 2-Naphthaleneacetamide, N-[2-(nitrooxy)ethyl]-1-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:305057 CAPLUS
DOCUMENT NUMBER: 122:80898
TITLE: Preparation of HIV protease inhibitors
INVENTOR(S): Reich, Siegfried H.; Pino, Mark J.; Nguyen, Dzuy T.; Trippe, Anthony J.
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9415906	A1	19940721	WO 1994-US420	19940118
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2153777	AA	19940721	CA 1994-2153777	19940118
AU 9461229	A1	19940815	AU 1994-61229	19940118
AT 179164	E	19990515	AT 1994-907199	19940118
ES 2132383	T3	19990816	ES 1994-907199	19940118
US 5714518	A	19980203	US 1994-325390	19941027

PRIORITY APPLN. INFO.: US 1993-5150 A2 19930115
US 1993-42261 A 19930402
US 1993-99375 A 19930730
WO 1994-US420 W 19940118
OTHER SOURCE(S): MARPAT 122:80898
GI



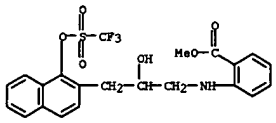
AB Title compds. I (in claims as VI; c = 0-2; A' = 5-7-membered aromatic, carbocyclyl, heterocyclyl each of which can be substituted; R17 = H, halo, HO, (substituted) alkoxy, HS, thioether, O2N, alkyl, aryl, (substituted) amino, etc.; R22 = (substituted) amino (substituted) alkoxy; R23 = H, HO, (substituted) amino, Q wherein B' = 5-7-membered aromatic, carbocyclyl,

L4 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
heterocyclyl each of which can be substituted, d = 0-2, R18 = H, halo, HO, HS, etc.,R21 = H2N, O2N, R3'R4'NC:Z wherein Z = O, S, R3', R4' = H, alkyl, cycloalkyl, aryl, etc.), block the biol. activity of the HIV protease enzyme, causing the replication of the HIV virus to terminate, are prepd. I are thus suitable for the treatment of the HIV virus known to cause AIDS. To Et3N and N-tert-butyl-N-(hydroxyethyl)(diphenyl-tert-butylsilyl)amine was added naphthoyl chloride to give a product which was converted in 5 steps to the title compd. II. I and II were screened by a variety of assays to det. their biol. utility.

IT 160301-17-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV protease inhibitors)

RN 160301-17-7 CAPLUS

CN Benzoic acid, 2-[[2-hydroxy-3-[1-[[(trifluoromethyl)sulfonyl]oxy]-2-naphthalenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



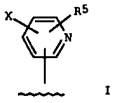
L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:229454 CAPLUS
DOCUMENT NUMBER: 123:198625
TITLE: 1-alkyl-, 1-alkenyl-, and 1-alkynylaryl-2-amino-1,3-propanediols and related compounds as anti-inflammatory agents
INVENTOR(S): Tegeler, John J.; Rauckman, Barbara S.; Hamer, Russell R. L.; Freed, Brian S.; Merriman, Gregory H.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals Inc., USA
SOURCE: U.S., 70 pp. Cont.-in-part of U.S. Ser. No. 840,236, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5360811	A	19941101	US 1992-942908	19920910
IL 112775	A1	19951127	IL 1991-112775	19910311
ZA 9101805	A	19920226	ZA 1991-1805	19910312
PL 167266	B1	19950831	PL 1991-289390	19910312
PL 167570	B1	19950930	PL 1991-304111	19910312
RU 2024493	C1	19941215	RU 1991-4894900	19910313
RU 2074181	C1	19970227	RU 1992-5052218	19920720
US 5488063	A	19960130	US 1994-247368	19940523
US 5488061	A	19960130	US 1994-247739	19940523
US 5519062	A	19960521	US 1994-247364	19940523
US 5550247	A	19960827	US 1995-425544	19950420
US 5557006	A	19960917	US 1995-425529	19950420
US 5565584	A	19961015	US 1995-425531	19950420
US 5534636	A	19960709	US 1995-426452	19950421
US 5534640	A	19960709	US 1995-426755	19950421
US 5571923	A	19961105	US 1995-426350	19950421
US 5574164	A	19961112	US 1995-426317	19950421
US 5597838	A	19970128	US 1995-426759	19950421
US 5614631	A	19970325	US 1995-426453	19950421
US 5977147	A	19991102	US 1996-639302	19960424
US 6500849	B1	20021231	US 1999-237689	19990126
PRIORITY APPLN. INFO.:			US 1990-492200	B2 19900313
			US 1990-596448	B2 19901012
			US 1990-632910	B1 19901224
			US 1992-840236	B2 19920224
			IL 1991-97510	A3 19910311
			US 1992-942908	A3 19920910
			US 1995-426759	A3 19950421
			US 1996-639302	A3 19960424

OTHER SOURCE(S): MARPAT 123:198625
GI

L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

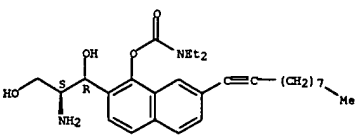
AB Novel 1-alkyl-, 1-alkenyl-, and 1-alkynylaryl-2-amino-1,3-propanediols of the formula RCH(OR1)CH(NR2R3)R4 or RCH2CR35(NR2R3)R4 wherein R is, e.g., I wherein R5 is, e.g., Me(CH2)mC.tplbond.C, Me(CH2)mCH:CH, Me(CH2)mCH2CH2, WC6H4CH2(CH2)nC.tplbond.C, wherein m is 3 to 15, n is 0 to 12, and W and X are independently hydrogen, hydroxy, alkyl, alkoxy, halogen, or trifluoromethyl, etc.; R1, R2, R3, R4, R35 are as defined in the specification, the optical isomers thereof, or the pharmaceutically acceptable salts thereof, intermediates and processes for the preparation thereof, and methods of reducing inflammation and cell proliferation, and relieving memory dysfunction, and inhibiting bacterial and fungal growth are disclosed. Scopolamine-induced memory deficit reversal in mice: 27 and 33% at dose of 3.0 mg/kg, s.c.; antiinflammatory activity as % decrease in ear plug weight at 10 μg/ear in mice: 24-66%; antineoplastic activity as demonstrated in protein kinase C assay: protein kinase inhibitory activity IC50(μM) 6.7-48; antibacterial activity (MIC, mg/L): 1.56-12.50; antifungal activity (MIC, μg/mL): 0.970-125.000. Pharmaceutical formulations were given.

IT 167366-00-9P 167366-03-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(1-alkyl-, 1-alkenyl-, and 1-alkynylaryl-2-amino-1,3-propanediols and related compds. as anti-inflammatory agents)

RN 167366-00-9 CAPLUS

CN Carbamic acid, diethyl-, 2-(2-amino-1,3-dihydroxypropyl)-7-(1-decynyl)-1-naphthalenyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167366-03-2 CAPLUS

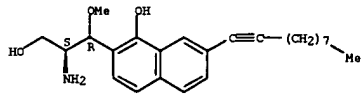
CN 2-Naphthalenepropanol, β-amino-7-(1-decynyl)-1-hydroxy-γ-methoxy-, (βR,γS)-rel-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 167366-02-1
CMF C24 H33 N O3

L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

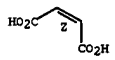
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

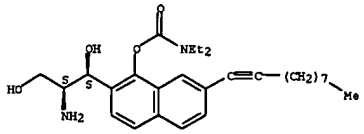


IT 167366-01-0P 167366-02-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(1-alkyl-, 1-alkenyl-, and 1-alkynylaryl-2-amino-1,3-propanediols and related compds. as anti-inflammatory agents)

RN 167366-01-0 CAPLUS

CN Carbamic acid, diethyl-, 2-(2-amino-1,3-dihydroxypropyl)-7-(1-decynyl)-1-naphthalenyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

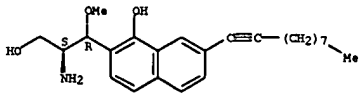
Absolute stereochemistry.



RN 167366-02-1 CAPLUS

CN 2-Naphthalenepropanol, β-amino-7-(1-decynyl)-1-hydroxy-γ-methoxy-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

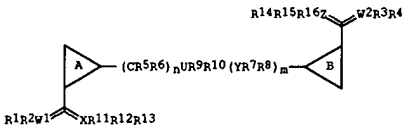
ACCESSION NUMBER: 1994:655414 CAPLUS
DOCUMENT NUMBER: 121:255414
TITLE: Preparation of (hydroxyalkyl)arylamides as HIV protease inhibitors
INVENTOR(S): Reich, Siegfried H.; Lewis, Kathleen; Melnick, Michael; Fuhry, Mary Ann M.; Kaldor, Stephen Warren
PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9415608	A1	19940721	WO 1994-US419	19940118
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2153777	AA	19940721	CA 1994-2153777	19940118
AU 9460871	A1	19940815	AU 1994-60871	19940118
EP 695184	A1	19960207	EP 1994-907199	19940118
EP 695184	B1	19990421		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 179164	E	19990515	AT 1994-907199	19940118
ES 2132383	T3	19990816	ES 1994-907199	19940118
US 5863950	A	19990126	US 1994-325340	19941027

PRIORITY APPLN. INFO.:
US 1993-5150 A2 19930115
US 1993-42261 A 19930402
US 1993-99375 A 19930730
WO 1994-US419 W 19940118

OTHER SOURCE(S): MARPAT 121:255414
GI

L4 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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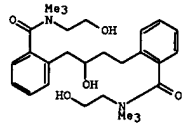


II

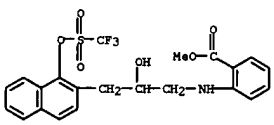
AB Title compds. [I; A, B = (substituted) carbocyclyl, heterocyclyl, (fused) polycyclyl; n, m = 0-6; X, Y, Z, W1, W2 = N, O, C, S, Se; U = C, B, Se, S, P; R1-R4 = null, H, alkyl, aryl; ≥ 1 of R1, R2 can form a ring with W1; ≥ 1 of R3, R4 can form a ring with W2; R5-R8 = null, H, halo, OH, (substituted) alkoxy, aryloxy, N, alkyl, aryl; R9, R10 = null, H, halo, OH, (substituted) aryloxy, N, alkyl, aryl, :O; R11-R16 = null, H, halo, OH, (substituted) alkoxy, aryloxy, N, alkyl, aryl; ≥ 1 of R11-R13 can form a ring with X; ≥ 1 of R14-R16 can form a ring with Z; with provisos], were prepared Thus, 2-MeC6H4COCl was coupled with Me3CNHCH2CH2OSiPh2CMe3 in CH2Cl2 at 0°-room temperature to give 32% 2-MeC6H4CONCMe3CH2CH2OSiPh2CMe3. This in THF containing diisopropylamine at -78° was treated with sec-BuLi and then ethylene oxide at -78°-room temperature to give 2-(HOCH2CH2)C6H4CONCMe3CH2CH2OSiPh2CMe3. This was oxidized to the acid with pyridinium dichromate in DMF (32%) and the acid was amidated with HNMeOMe.HCl using BOP and Hunig's base to give 59% 2-[Me(MeO)NCOCH2]C6H4CONCMe3CH2CH2OSiPh2CMe3. The latter was coupled with 2-MeC6H4CONCMe3CH2CH2OH using diisopropylamine/sec-BuLi as above to give, after NaBH4 reduction and desilylation, title compound II. II inhibited HIV-1 protease with IC50 = 1.03 μM. I were active against HIV-induced killing of CEM cells at ≥ 0.48 μg/mL.

IT 160301-17-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (hydroxyalkyl)arylamides as HIV protease inhibitors)

RN 160301-17-7 CAPLUS

CN Benzoic acid, 2-[[2-hydroxy-3-[1-[[(trifluoromethyl)sulfonyl]oxy]-2-naphthalenyl]propyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:191311 CAPLUS
DOCUMENT NUMBER: 120:191311
TITLE: preparation of aromatic amino alcohol derivatives having antidiabetic and antiobesity properties
INVENTOR(S): Fujita, Takashi; Yoshioka, Takao; Horikoshi, Hiroyoshi; Yoshioka, Shinji
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 69 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 543662	A2	19930526	EP 1992-310625	19921120
EP 543662	A3	19930811		
EP 543662	B1	19960918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
NO 9204440	A	19930521	NO 1992-4440	19921118
NO 179246	B	19960528		
NO 179246	C	19960904		
ZA 9208960	A	19930519	ZA 1992-8960	19921119
CA 2083323	AA	19930521	CA 1992-2083323	19921119
CZ 280328	B6	19951213	CZ 1992-3436	19921119
CZ 280820	B6	19960417	CZ 1995-345	19921119
RU 2095344	C1	19971110	RU 1992-4433	19921119
AU 9228493	A1	19930527	AU 1992-28493	19921120
AU 655689	B2	19950105		
CN 1073428	A	19930623	CN 1992-114826	19921120
CN 1034497	B	19970409		
JP 06025118	A2	19940201	JP 1992-311975	19921120
HU 66816	A2	19950130	HU 1992-3638	19921120
CN 1106396	A	19950809	CN 1994-118086	19921120
CN 1033750	B	19970108		
AT 143002	E	19961015	AT 1992-310625	19921120
ES 2094308	T3	19970116	ES 1992-310625	19921120
IL 110804	A1	19970713	IL 1992-110804	19921120
IL 103825	A1	19980405	IL 1992-103825	19921120
KR 149679	B1	19981015	KR 1992-21899	19921120
US 5977374	A	19991102	US 1994-282579	19940729
KR 161552	B1	19990115	KR 1994-21931	19940831
RU 2081113	C1	19970610	RU 1994-36004	19940930
AU 9477518	A1	19950112	AU 1994-77518	19941027
AU 670007	B2	19960627		
US 5576340	A	19961119	US 1995-378879	19950126
US 5635534	A	19970603	US 1995-478610	19950607
CN 1151401	A	19970611	CN 1996-107153	19960621
CN 1054846	B	20000726		
JP 09188669	A2	19970722	JP 1997-5361	19970116
JP 2991985	B2	19991220		

PRIORITY APPLN. INFO.:

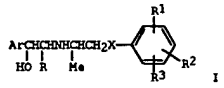
JP 1991-304581	A	19911120
JP 1992-311975	A3	19921120
KR 1992-21899	A3	19921120

L4 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	US 1992-979180	B1 19921120
	US 1994-178465	B3 19940106
	US 1995-378879	A3 19950126

OTHER SOURCE(S): CASREACT 120:191311; MARPAT 120:191311

GI



I

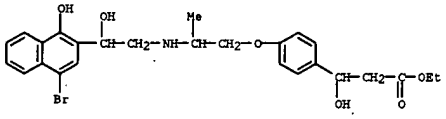
AB Compds. I (R = H, Me, HOCH2; R1 = substituted alkyl [substituents may be CO2H, C2-7 alkoxy- or aryloxycarbonyl, aralkoxycarbonyl, (di)alkyl- or hydroxycarbamoyl, carbamoyl, OH, carboxylic acyloxy, and 2,4-dioxothazolidin-5-yl groups]; R2, R3 = H, halo, OH, alkoxy carboxy, alkoxycarbonyl, alkyl, NO2, haloalkyl, substituted alkyl; X = O, S; Ar = Ph or naphthyl or their derivs. containing up to three substituents including halo, OH, HOCH2, alkoxy, alkyl, haloalkyl, aliphatic carboxylic acyloxy group, or aralkyloxy containing a C1-3 alkyl chain substituted by 1 or 2 aryl groups containing 6-10 ring C atoms and which are substituted with halo, C1-4 alkyl, C1-3 alkoxy, NO2, OH, or C1-4 haloalkyl groups] and their pharmaceutically acceptable salts are prepared with antidiabetic and antiobesity activities. I are also capable of treating or preventing hyperlipemia and hyperglycemia (very effective) and, by inhibiting the action of aldose reductase, they can be effective in the treatment and prevention of complications of diabetes. Thus, 3-ClC6H4CH(OH)CH2NH2 is condensed with 4-MeO2CC6H4OCH2Ac to give 4-[3-ClC6H4CH(OH)CH2NH:CMeCH2O]C6H4CO2Me which is reduced by NaBH4 to give I (R = R2 = R3 = H, R1 = CO2Me, X = O, Ar = 3-ClC6H4). This was reduced by LiAlH4 in THF to give I (R = R2 = R3 = H, R1 = CH2OH, X= O, Ar = 3-ClC6H4).

IT **153293-16-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as antidiabetic agent)

RN 153293-16-4 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[2-(4-bromo-1-hydroxy-2-naphthalenyl)-2-hydroxyethyl]amino]propoxy]-β-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:407945 CAPLUS
DOCUMENT NUMBER: 117:7945
TITLE: (Naphthylalkylamino)pyrimidine derivatives, process for their preparation and pesticides containing them
INVENTOR(S): Kristiansen, Odd; Zondler, Helmut; Mueller, Urs
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 470600	A1	19920212	EP 1991-113282	19910807
EP 470600	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2048713	AA	19920211	CA 1991-2048713	19910808
IL 99122	A1	19970218	IL 1991-99122	19910808
AU 9181762	A1	19920213	AU 1991-81762	19910809
AU 647163	B2	19940317		
HU 58300	A2	19920228	HU 1991-2666	19910809
ZA 9106297	A	19920429	ZA 1991-6297	19910809
BR 9103426	A	19920519	BR 1991-3426	19910809
JP 04230670	A2	19920819	JP 1991-225025	19910809
CZ 279334	B6	19950412	CZ 1991-2470	19910809
PL 169439	B1	19960731	PL 1991-291383	19910809
CN 1058776	A	19920219	CN 1991-105501	19910810
US 5468751	A	19951121	US 1993-126154	19930923

PRIORITY APPLN. INFO.:

CH 1990-2603	A	19900810
CH 1991-390	A	19910208
US 1991-741716	B3	19910807
US 1992-910939	B1	19920719
US 1993-15079	B1	19930208

OTHER SOURCE(S): MARPAT 117:7945

GI For diagram(s), see printed CA Issue.

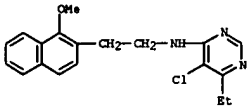
AB Title compds. I [R1 = H, (substituted) C1-5 alkyl, (halo)-C2-7 alkenyl, C3-7 cycloalkyl, halo, C2-6 alkynyl; R2 = H, HO, (substituted) C1-5 alkyl, C1-4 alkoxy, halo, O2N, NC, H2N, C1-4 alkyl-S(O)p wherein p = 0-2, R3NH, R3R9N, R10R9C:N wherein R3 = H, C1-5 alkyl, PhCH2, R6CO, R7S, wherein R9 = C1-5 alkyl, R10 = H, C1-5 alkyl, R6 = C1-5 alkyl, (substituted) Ph, R7 = (substituted) Ph, (substituted) PhCH2, (substituted) C1-5 alkyl; R4, R8 = H, (substituted) C1-3 alkyl, C3-7 cycloalkyl; R5 = halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkylthio, etc.; R13 = H, (substituted) C1-4 alkyl, (C1-3 alkyl)N, etc.; m, n = 0-3]. To a solution of 4,5-dichloro-6-ethylpyrimidine in BuOH were added 1-β-naphthylethanamine and Et3N to give after workup 4-(1-β-naphthylethylamino)-5-chloro-6-ethylpyrimidine (II). II was effective in controlling Pythium ultimum on sugar beet and corn.

IT **141625-49-2P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pesticide)

RN 141625-49-2 CAPLUS

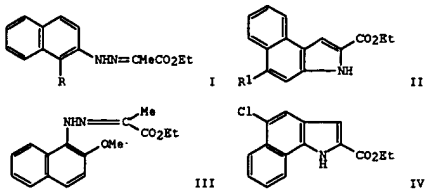
CN 4-Pyrimidinamine, 5-chloro-6-ethyl-N-[2-(1-methoxy-2-naphthalenyl)ethyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

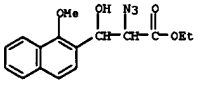
ACCESSION NUMBER: 1992:173958 CAPLUS
DOCUMENT NUMBER: 116:173958
TITLE: Synthetic studies on indoles and related compounds. XXIX. Attempted syntheses of benz[f]indoles by cyclization reactions
AUTHOR(S): Watanabe, Toshiko; Takahashi, Hiroyuki; Kanakura, Hiroyuki; Sakaguchi, Susumu; Osaki, Masako; Toyama, Satoru; Mizuma, Yuka; Ueda, Ikuko; Murakami, Yasuoki
CORPORATE SOURCE: Sch. Pharm. Sci., Toho Univ., Funabashi, 274, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(12), 3145-52
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Syntheses of benz[f]indoles from 1,2-disubstituted naphthalene derivs. by means of cyclization reactions were attempted. The Fischer indolization of naphthylhydrazones I (R = Me, Cl, NO2) gave only benz[e]indole derivs. II (R1 = H, Cl) or decomposed products, and the desired 9-substituted benz[f]indole was not produced. On the other hand, the Fischer indolization of 2-methoxy-1-naphthylhydrazone III gave Et 5-chlorobenz[g]indole-2-carboxylate IV.

IT **139979-15-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 139979-15-0 CAPLUS
CN 2-Naphthalenepropanoic acid, α-azido-β-hydroxy-1-methoxy-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

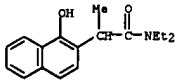
L4 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:116851 CAPLUS
DOCUMENT NUMBER: 114:116851
TITLE: Aqueous photolysis of napropamide
AUTHOR(S): Chang, Lydia L.; Giang, Benjamin Y.; Lee, Kuo Shin; Tseng, Chien K.
CORPORATE SOURCE: Agric. Prod. Div., ICI Americas Inc., Richmond, CA, 94804-0023, USA
SOURCE: Journal of Agricultural and Food Chemistry (1991), 39(3), 617-21
CODEN: JAFCAU; ISSN: 0021-8561
DOCUMENT TYPE: Journal
LANGUAGE: English

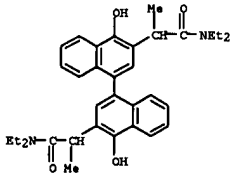
AB Photolysis of napropamide was examined at 25° in aqueous solution buffered at pH 7 by using radiation from a xenon arc lamp. The pseudo-first-order photolysis half-life and rate constant were 5.7 min and 1.2 + 10-1 min-1, resp. Three major photodegrdn. products were produced in yields up to 20, 27, and 9%. The 3 photodegrdn. products were isolated by HPLC and their structures identified by NMR and mass spectrometry.

IT **131933-41-0 131933-42-1**
RL: BIOL (Biological study)
(napropamide photolysis product)

RN 131933-41-0 CAPLUS
CN 2-Naphthaleneacetamide, N,N-diethyl-1-hydroxy-α-methyl- (9CI) (CA INDEX NAME)

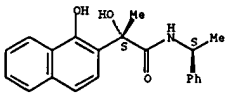


RN 131933-42-1 CAPLUS
CN [1,1'-Binaphthalene]-3,3'-diacetamide, N,N,N',N'-tetraethyl-4,4'-dihydroxy-α,α'-dimethyl- (9CI) (CA INDEX NAME)



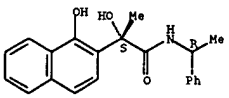
L4 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1990:459385 CAPLUS
DOCUMENT NUMBER: 113:59385
TITLE: Enantioselective catalysts having a new zirconium trichloride-Lewis acid with dibornaneannulated cyclopentadienyl ligand
AUTHOR(S): Erker, Gerhard; Van der Zeijden, Adolphus A. H.
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wuerzburg, Wuerzburg, D-8700, Germany
SOURCE: Angewandte Chemie (1990), 102(5), 543-5
CODEN: ANCEAD; ISSN: 0044-8249
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 113:59385
GI For diagram(s), see printed CA Issue.
AB Synthesis of title complex I (MLn = ZrCl3) as enantioselective catalyst for condensation of CH3COCO2Et with 1-naphthol is described. Thus, condensation of 2 equivalent of 2-bornen-2-yllithium with HCO2Et followed by cyclization with KHSO4 gave substituted cyclopentadienyl ligand system which on deprotonation with BuLi-Et2O gave I [MLn = Li(OEt2)]. Treatment of ZrCl4 or HfCl4 with I [MLn = Li(OEt2)] in PhMe gave 40% I (MLn = ZrCl3, HfCl3). Condensation of 1-naphthol with CH3COCO2Et in the presence of catalyst (1R, 4S, 1'R, 4'S)-I (MLn = ZrCl3) in H2O-CH2Cl2 gave R-lactic acid ester II in 53% yield with 84.1 enantiomeric excess. The mechanism of the reaction is discussed.
IT **126035-90-3P 126035-91-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 126035-90-3 CAPLUS
CN 2-Naphthaleneacetamide, α,1-dihydroxy-α-methyl-N-(1-phenylethyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



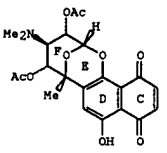
RN 126035-91-4 CAPLUS
CN 2-Naphthaleneacetamide, α,1-dihydroxy-α-methyl-N-(1-phenylethyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

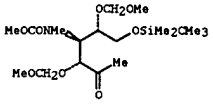


L4 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:173639 CAPLUS
DOCUMENT NUMBER: 110:173639
TITLE: Synthetic studies on nogalamycin congeners. II. Chiral synthesis of the CDEF-ring system of nogalamycin
AUTHOR(S): Kawasaki, Motoji; Matsuda, Fuyuhiko; Terashima, Shiro
CORPORATE SOURCE: Sagami Chem. Res. Cent., Kanagawa, 229, Japan
SOURCE: Tetrahedron (1988), 44(18), 5713-25
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:173639
GI

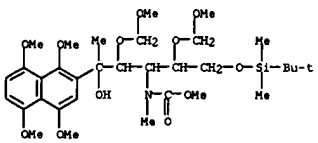


I



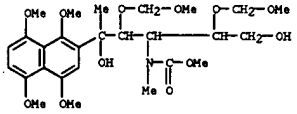
II

AB The CDEF-ring system I of nogalamycin was prepared in several steps starting with the reaction of ketone II with 1,4,5,8-tetramethoxynaphthalene.
IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



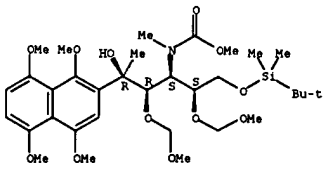
IT **105827-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 105827-48-3 CAPLUS

L4 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN L-Glucitol, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



IT **120143-13-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 120143-13-7 CAPLUS
CN D-Iditol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

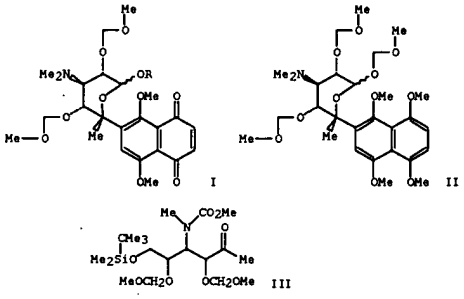
Absolute stereochemistry.



L4 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:570804 CAPLUS
DOCUMENT NUMBER: 109:170804
TITLE: A process for the preparation of 6-(1,4-dimethoxy-5,8-dioxonaphthalen-2-yl)-3,4,5,6-tetrahydro-2H-pyran derivatives as neoplasm inhibitors
INVENTOR(S): Terajima, Atsuro; Kawasaki, Mototsuchi; Matsuda, Fuyuhiko; Yamada, Kaoru
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153281	A2	19870708	JP 1985-292240	19851226
JP 05086787	B4	19931214		
PRIORITY APPLN. INFO.:			JP 1985-292240	19851226

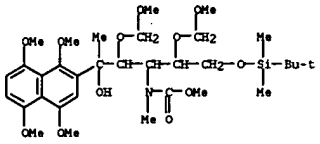
GI



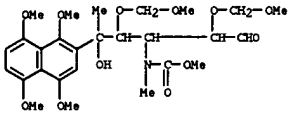
I II III

AB The title compds. (I; R = protecting group), useful as neoplasm inhibitors, are prepared Naphthyltetrahydropyran derivs. II [prepared from (silyloxy)hexanone derivative (-)-III in six steps] in EtOH was treated with aqueous (NH4)2Ce(NO3)6 at -40° to give 21% I (R = MeOCH2) which showed an IC50 of 0.14 μg/mL against p388 leukemia cells.

IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and deprotection of, as intermediate for neoplasm inhibitors)
RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

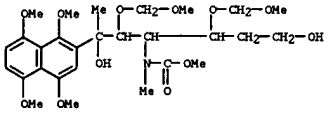


IT **111224-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and etherification of, as intermediate for neoplasm inhibitors)
RN 111224-40-9 CAPLUS
CN L-Glucose, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

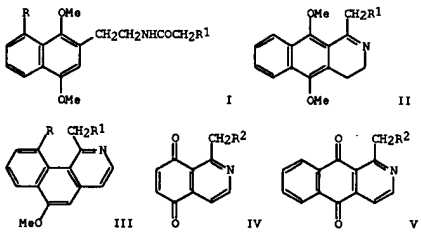


IT **116592-97-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and self-cyclocondensation of, pyran derivative from, in preparation of neoplasm inhibitors)
RN 116592-97-3 CAPLUS
CN L-gluco-Heptitol, 2,4,7-trideoxy-4-[(methoxycarbonyl)methylamino]-3,5-bis-O-(methoxymethyl)-6-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:549325 CAPLUS
DOCUMENT NUMBER: 109:149325
TITLE: Access to (aminomethyl)benzo[g]isoquinoline-5,10-diones. Abnormal substitution in the Bischler-Napieralski reaction of 1,4-dimethoxynaphthalenes
AUTHOR(S): Croisy-Delcey, Martine; Huel, Christiane; Bisagni, Emile
CORPORATE SOURCE: Lab. Synth. Org., Inst. Curie, Orsay, 91405, Fr.
SOURCE: Journal of Heterocyclic Chemistry (1988), 25(2), 661-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 109:149325
GI

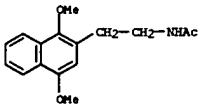


I II III IV V

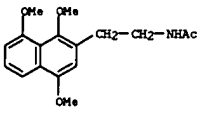
AB Bischler-Napieralski reaction of [(acylamino)ethyl]dimethoxynaphthalene derivs. I (R = H, R1 = H, phthalimido) gives the expected dihydrobenzoisoquinolines II (same R1). However, I (R = OMe, R1 = H, phthalimido) give only aromatized regioisomers III, and I (R = R1 = H) gives .apprx.30% III. Cyclocondensation of isoquinolinediones IV (R2 = H, NHAc, NHCOCOMe, NHCOCH2Cl) with AcO(CH:CH)2OAc gives 39-54% azaanthraquinones V (same R2).

IT **116577-58-3P 116577-59-4P 116577-63-0P 116577-64-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Bischler-Napieralski reaction of, regiochem. of)
RN 116577-58-3 CAPLUS
CN Acetamide, N-[2-(1,4-dimethoxy-2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

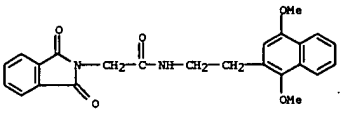
L4 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



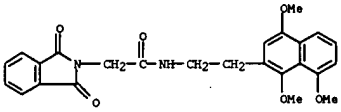
RN 116577-59-4 CAPLUS
CN Acetamide, N-[2-(1,4,8-trimethoxy-2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 116577-63-0 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[2-(1,4-dimethoxy-2-naphthalenyl)ethyl]-1,3-dihydro-1,3-dioxo- (9CI) (CA INDEX NAME)



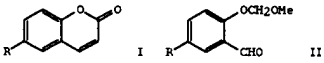
RN 116577-64-1 CAPLUS
CN 2H-Isoindole-2-acetamide, 1,3-dihydro-1,3-dioxo-N-[2-(1,4,8-trimethoxy-2-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

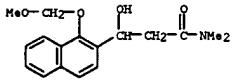
ACCESSION NUMBER: 1988:528643 CAPLUS
DOCUMENT NUMBER: 109:128643
TITLE: A new coumarin synthesis based on the aromatic metalation reaction
AUTHOR(S): Harvey, Ronald G.; Cortez, Cecilia; Ananthanarayan, T. P.; Schmolka, Sanford
CORPORATE SOURCE: Ben May Inst., Univ. Chicago, Chicago, IL, 60637, USA
SOURCE: Tetrahedron Letters (1987), 28(49), 6137-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:128643
GI



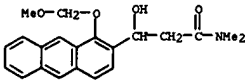
AB A convenient synthetic approach to coumarins such as I (R = H, Cl, Me, Ph) and polycyclic coumarins is based on the aromatic metalation reaction of aldehydes, such as II with LiCH2CONMe2 and deblocking and cyclization of the adducts with AcOH. Several polycyclic coumarins exhibit strong anticarcinogenic activity.

IT **115560-75-3P 115560-76-4P 115560-78-6P 115560-81-1P 116137-97-4P 116137-98-5P 116137-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and demethoxymethylation and ring closure of)

RN 115560-75-3 CAPLUS
CN 2-Naphthalenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

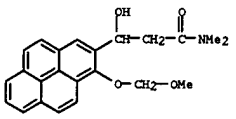


RN 115560-76-4 CAPLUS
CN 2-Anthracenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

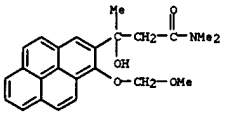


L4 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

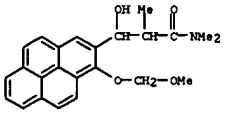
RN 115560-78-6 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 115560-81-1 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N,β-trimethyl- (9CI) (CA INDEX NAME)

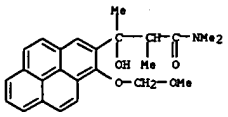


RN 116137-97-4 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-3-(methoxymethoxy)-N,N,α-trimethyl- (9CI) (CA INDEX NAME)

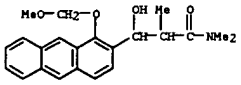


RN 116137-98-5 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-3-(methoxymethoxy)-N,N,α,β-tetramethyl- (9CI) (CA INDEX NAME)

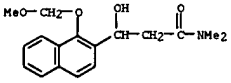
L4 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



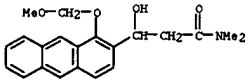
RN 116137-99-6 CAPLUS
CN 2-Anthracenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N,α-trimethyl- (9CI) (CA INDEX NAME)



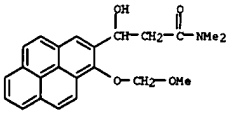
L4 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



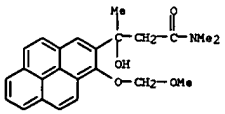
RN 115560-76-4 CAPLUS
CN 2-Anthracenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 115560-78-6 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

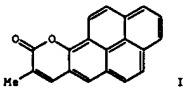


RN 115560-81-1 CAPLUS
CN 2-Pyrenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N,β-trimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:492720 CAPLUS
DOCUMENT NUMBER: 109:92720
TITLE: A new coumarin synthesis and its utilization for the synthesis of polycyclic coumarin compounds with anticarcinogenic properties
AUTHOR(S): Harvey, Ronald G.; Cortez, Cecilia; Ananthanarayan, T. P.; Schmolka, Sanford
CORPORATE SOURCE: Ben May Inst., Univ. Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (1988), 53(17), 3936-43
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:92720
GI



I

AB A novel synthesis of coumarins based on the ortho-directed metalation of methoxymethyl phenolic ethers with alkyllithium reagents is described. The method entails reaction of the ortho-lithiated intermediates with DMF to yield the corresponding ortho aldehydes. Reaction of the latter with LiCH2CONMe2 affords the addition products which, on heating in refluxing AcOH, undergo smooth conversion directly to coumarins. A wide range of coumarins containing substituents in the 6- and 7-positions as well as the polycyclic coumarin analogs of phenanthrene, benz[a]anthracene, and benzo[a]pyrene, and their Me-substituted derivs. were prepared by appropriate modifications of this method. Preliminary assays of biol. activity indicate that the benzo[a]pyrene coumarin analog I is a potent inhibitor of tumor induction when administered prior to the carcinogen 7,12-dimethylbenz[a]anthracene, and I, is itself devoid of tumorigenic activity. The polycyclic coumarins hold promise as agents for the chemoprevention of cancer.

IT **115560-75-3P 115560-76-4P 115560-78-6P**
115560-81-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclocondensation reaction of, coumarin derivative
from)

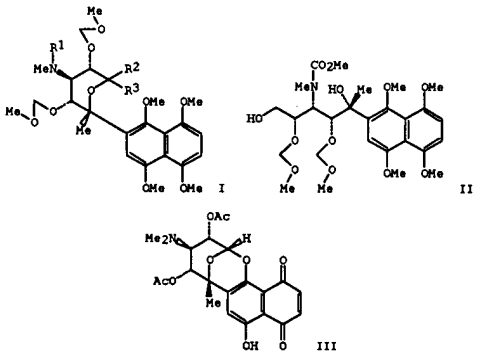
RN 115560-75-3 CAPLUS
CN 2-Naphthalenepropanamide, β-hydroxy-1-(methoxymethoxy)-N,N-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1988:422843 CAPLUS
DOCUMENT NUMBER: 109:22843
TITLE: Preparation of 4-amino-3,5-bis(methoxymethoxy)-6-methyl-6-(1,4,5,8-tetramethoxynaphthalen-2-yl)-3,4,5,6-tetrahydro-2H-pyran derivatives as neoplasm inhibitor intermediates
INVENTOR(S): Terajima, Atsuro; Kawasaki, Motoshi; Matsuda, Fuyuhiko
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153282	A2	19870708	JP 1985-292241	19851226
PRIORITY APPLN. INFO.:			JP 1985-292241	19851226

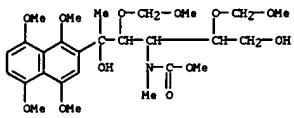
GI



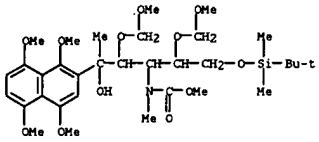
I II III

AB The title compds. I [when R1 = MeO2C, R2R3 = O or one of R2 and R3 = H and another one (protected) OH; when R1 = Me, one of R2 and R3 = H and another one = protected hydroxy], useful as intermediates for anticancer agents (which are also prepared), are prepared A solution of (-)-II (preparation given) in
CH2Cl2 was treated with a mixture of oxalyl chloride and DMSO in CH2Cl2 at -60° and subsequently with Et3N at 0° to give 91% I (R1 =

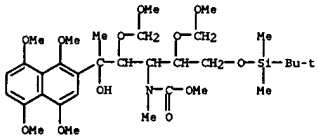
L4 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CO2Me; R2R3 = O) which was converted to (+)-III with seven steps. III showed IC50 at 0.10 μg/mL against mice leukemia cells P388.
IT **105827-48-3P 113350-49-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for anticancer agent)
RN 105827-48-3 CAPLUS
CN L-Glucitol, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



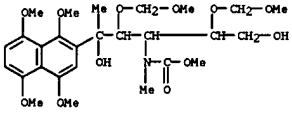
RN 113350-49-5 CAPLUS
CN D-Allitol, 1,4-dideoxy-6-O-[(1,1-dimethylethyl)dimethylsilyl]-4-[(methoxycarbonyl)methylamino]-3,5-bis-O-(methoxymethyl)-2-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and hydrolysis of)
RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



IT **105827-46-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and lactonization of)
RN 105827-48-3 CAPLUS
CN L-Glucitol, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



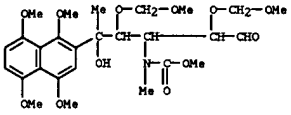
L4 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:112732 CAPLUS
DOCUMENT NUMBER: 108:112732
TITLE: Preparation of 4-[bis(trialkylsiloxy)methylene]-1-methyl-3-methylene-1-cyclohexene derivatives as anticancer intermediates
INVENTOR(S): Terajima, Atsuro; Kawasaki, Motoshi; Matsuda, Fuyuhiko
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153294	A2	19870708	JP 1985-292243	19851226
PRIORITY APPLN. INFO.:			JP 1985-292243	19851226

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I; R1, R2, R3 = alkyl), useful as intermediates for anticancer anthracycline derivs., are prepared BuLi in hexane was added to a solution of (Me2CH)2NH in THF at -40°, followed by acid III in THF and Me3SiCl at -78°, and the solution stirred at 30° to give I (R1 = R2 = R3 = Me), which (0.50 mmol) was treated with (+)-(2R,3S,4R,5R,6R)-IV in THF at 20° to give 85% adduct V. V was aromatized and hydrolyzed to give (+)-nogarene (II).
IT **111224-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and etherification of)
RN 111224-40-9 CAPLUS
CN L-Glucose, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:618008 CAPLUS
DOCUMENT NUMBER: 107:218008
TITLE: Preparation of 2,6-epoxy-3,4,5,6,1,12-hexahydro-2H-naphthaceno[1,2-b]oxocin-9,16-dione derivatives as anticancer agents and intermediates for nogarene derivatives
INVENTOR(S): Terajima, Atsuro; Kawasaki, Motoshi; Matsuda, Fuyuhiko; Yamada, Kaoru
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

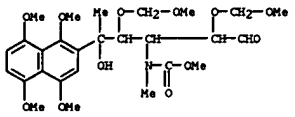
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153290	A2	19870708	JP 1985-292244	19851226
PRIORITY APPLN. INFO.:			JP 1985-292244	19851226

GI

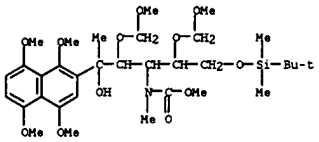
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anticancer anthracyclines (I; R1 = H, protecting group), which can be converted into optically active nogarene derivs. (II) by dehydrogenation, were prepared in 12 steps from 3,5,6-trihydroxy-4-amino-2-hexanone derivative
III. Cycloaddn. reaction of 4-[bis(trimethylsilyloxy)methylene]-1-methyl-3-methylene-1-cyclohexene with a naphthleno[1,2-b]oxocin-9,12-dione derivative
IV, which was prepared in 11 steps via condensation of III with 1,4,5,8-tetramethoxynaphthalene, in THF at room temperature for 30 min followed
by treatment with aqueous HCl and then saturated aqueous NaHCO3 gave 85% I (R1 = Ac)
(V) which was treated with DL-camphorsulfonic acid and 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in benzene under reflux to give 85% II (R1 = Ac). V and I (R1 = H) in vitro show IC50 of 0.58 and 0.13 μg/mL resp. in mouse leukemia P388 cells.
IT **111224-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of, with chloromethyl Me ether)
RN 111224-40-9 CAPLUS
CN L-Glucose, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

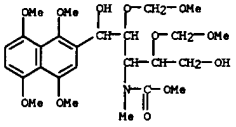
L4 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



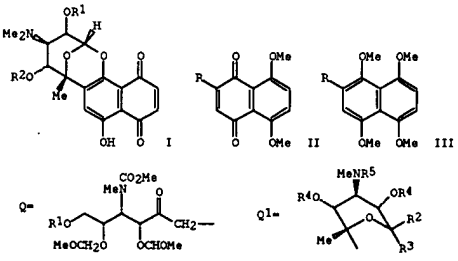
IT **111224-39-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 111224-39-6 CAPLUS
CN D-Xylitol, 3-deoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-1-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)-, (1R)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:618007 CAPLUS
DOCUMENT NUMBER: 107:218007
TITLE: Anticancer nogalamycin analogs: 2,6-epoxy-3,4,5,6-tetrahydro-2H-naphthaleno[1,2-b]oxocin-9,12-dione derivatives
INVENTOR(S): Terajima, Atsuro; Kawasaki, Motoshi; Matsuda, Fuyuhiko; Yamada, Kaoru
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153289	A2	19870708	JP 1985-292242	19851226
JP 06000784	B4	19940105		
PRIORITY APPLN. INFO.:			JP 1985-292242	19851226

GI

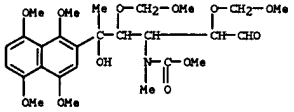


AB The title compds. (I; R1 = H, protecting group), which show anticancer activity, are prepared in 9 steps from 3,5,6-trihydroxy-4-amino-2-hexanone derivative (II). Lithiation of 1,4,5,8-tetramethoxynaphthalene (III; R = H) with BuLi followed by condensation with QH (R1 = SiMe2CMe3) and desilylation with Bu4NF gave III (R = Q, R1 = SiMe2CMe3). Oxidation of the latter with ClCOCOCl and Me2SO and reduction of the resulting III (R = Q1, R2R3 = O, R4 = CH2OMe, R5 = CO2Me) with (iso-Bu)2AlH in toluene at -78° gave III [R = Q1; R2, R3 = H, OH(R2 = R3); R4 = CH2OMe, R5 = CO2Me] which was alkylated with ClCH2OMe in THF containing (iso-Pr)2NH and deacylated by reduction with LiAlH4 to give III [R = Q1; R2, R3 = H, OCH2OMe(R2 = R3); R4 = CH2OMe, R5 = H]. Treatment of the latter with (NH4)2Ce(NO3)6 in aqueous EtOH at 0° gave 5,8-dimethoxy-1,4-dioxonaphthalene derivative II [R = Q1; R2, R3 = H, OCH2OMe (R2 = R3); R4 = CH2OMe, R5 = H], which was reduced with Na2S2O4 in H2O and CHCl3 and cyclized by treatment with BrSiMe3 in CHCl3 and CH2Cl2 under reflux to

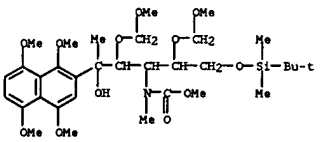
L4 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
give I (R1 = R2 = H)·HBr. Acetylation of this with Ac2O in MeOH contg. KOAc gave I (R1 = R2 = Ac). This in vitro showed IC50 of 0.10 μg/mL in mouse leukemia P388 cells.

IT **111224-40-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of, with chloromethyl Me ether)
RN 111224-40-9 CAPLUS
CN L-Glucose, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

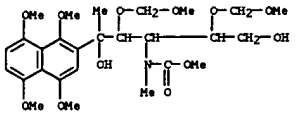


IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)
RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



IT **105827-48-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 105827-48-3 CAPLUS
CN L-Glucitol, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:452007 CAPLUS
DOCUMENT NUMBER: 107:52007
TITLE: 2-Substituted-1-naphthols as 5-lipoxygenase inhibitors
INVENTOR(S): Batt, Douglas Guy
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: Eur. Pat. Appl., 87 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

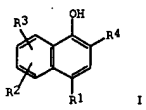
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 201071	A2	19861112	EP 1986-106122	19860505
EP 201071	A3	19880810		
EP 201071	B1	19920304		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4833164	A	19890523	US 1986-839912	19860319
AT 73121	E	19920315	AT 1986-106122	19860505
AU 8657186	A1	19861204	AU 1986-57186	19860506
AU 606034	B2	19910131		
CA 1302417	A1	19920602	CA 1986-508534	19860506
DK 8602112	A	19861109	DK 1986-2112	19860507
FI 8601903	A	19861109	FI 1986-1903	19860507
FI 90974	B	19940114		
FI 90974	C	19940425		
NO 8601829	A	19861110	NO 1986-1829	19860507
NO 164592	B	19900716		
NO 164592	C	19901024		
JP 61263943	A2	19861121	JP 1986-103246	19860507
JP 2554322	B2	19961113		
HU 43551	A2	19871130	HU 1986-1892	19860507
HU 194796	B	19880328		
ZA 8603425	A	19880127	ZA 1986-3425	19860507
SU 1600627	A3	19901015	SU 1986-4027419	19860507
IL 78719	A1	19930513	IL 1986-78719	19860507
ES 554763	A1	19880216	ES 1986-554763	19860508
ES 557756	A1	19880416	ES 1987-557756	19870925
SU 1750415	A3	19920723	SU 1988-4355565	19880422
US 4906636	A	19900306	US 1989-324533	19890316
US 4985435	A	19910115	US 1989-324534	19890316
US 4985442	A	19910115	US 1989-327717	19890323
US 5026759	A	19910625	US 1989-445776	19891204
NO 9000651	A	19861110	NO 1990-651	19900209
NO 171106	B	19921019		
NO 171106	C	19930127		
DK 9200393	A	19920325	DK 1992-393	19920325

PRIORITY APPLN. INFO.:

US 1985-731791	A	19850508
US 1986-839912	A	19860319
EP 1986-106122	A	19860505
NO 1986-1829	A1	19860507
US 1989-324533	A3	19890316

OTHER SOURCE(S): CASREACT 107:52007
GI

L4 ANSWER 29 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

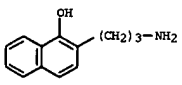


I

AB Naphthol derivs. I [R1 = H, Me, Br, Cl, OH, OMe, OEt, Ph, S, SO, SO2, (un)substituted NH2, etc.; R2, R3 = H, Me, Et, OMe, OEt; R4 = alkyl, alkenyl, alkynyl, etc.] are prepared as 5-lipoxygenase inhibitors. Thus, 1,1,1-trimethoxy-5-hexyne in dry THF was treated at -78° with BuLi in hexane, followed by the addition of 1-benzyloxy-2-naphthaldehyde in THF, to give Me 7-(1-benzyloxy-2-naphthyl)-7-hydroxy-5-heptynoate. This was treated with a mixture of BF3.Et2O, Et3SiH, and CH2Cl2 to give Me 7-(1-benzyloxy-2-naphthyl)-5-heptynoate, which, upon treatment with EtSH and BF3.Et2O gave I (R1 = R2 = R3 = H, R4 = CH2C.tplbond.CCH2CH2CH2CO2Me).

IT **109381-76-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as lipoxygenase inhibitor)

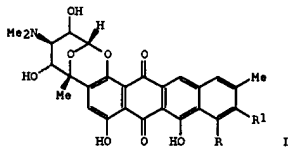
RN 109381-76-2 CAPLUS
CN 1-Naphthalenol, 2-(3-aminopropyl)-, hydrochloride (9CI) (CA INDEX NAME)



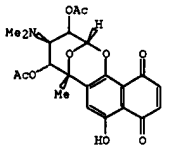
● HCl

L4 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

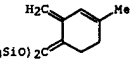
ACCESSION NUMBER: 1987:18203 CAPLUS
DOCUMENT NUMBER: 106:18203
TITLE: Total syntheses of (+)-nogarene and (+)-7,8-dihydronogarene
AUTHOR(S): Kawasaki, Motoji; Matsuda, Fuyuhiko; Terashima, Shiro
CORPORATE SOURCE: Sagami Chem. Res. Cent., Sagamihara, 229, Japan
SOURCE: Tetrahedron Letters (1986), 27(19), 2145-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:18203
GI



I



II



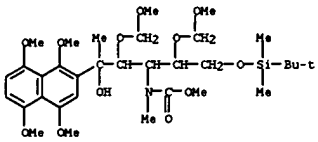
III

AB Total syntheses of the title compds. (I, RR1 = bond, R = R1 = H), the simplest and novel nogalamycin congeners, were accomplished by elaborating the CDEF-ring system II from MeCOCH(OCH2OMe)CH(NMeCO2Me)CH(OCH2OMe)CH2OSiMe2CMe3 and subjecting to regioselective Diels-Alder reaction with the bistrimethylsilyl ketene acetal II. I and some intermediates have antitumor activity.

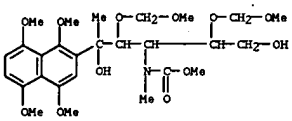
IT **105827-47-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)

RN 105827-47-2 CAPLUS
CN L-Glucitol, 3,6-dideoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

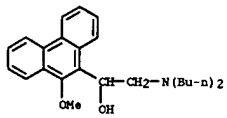


IT 105827-48-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 105827-48-3 CAPLUS
CN L-Glucitol, 3,6-dideoxy-3-[(methoxycarbonyl)methylamino]-2,4-bis-O-(methoxymethyl)-5-C-(1,4,5,8-tetramethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

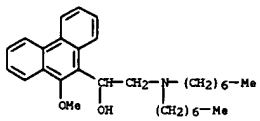


L4 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:101941 CAPLUS
DOCUMENT NUMBER: 104:101941
TITLE: Topological pharmacophores. New methods and their application to a set of antimalarials. Part 2: Results from LOGANA
AUTHOR(S): Franke, Rainer; Streich, W. Juergen
CORPORATE SOURCE: Inst. Drug Res., Ger. Acad. Sci., Berlin, 1136, Ger. Dem. Rep.
SOURCE: Quantitative Structure-Activity Relationships (1985), 4(2), 51-63
CODEN: QSARDI; ISSN: 0722-3676
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The LOGANA procedure is applied to a set of 382 antimalarials as a test case. Its principle consists in the stepwise combination of binary descriptors characterizing the presence or absence of substructural features into conjunctions using the logical operator "and" such that the structural patterns described by these conjunctions are typical of the class of high activity compds. Clear substructural patterns for antimalarial activity are obtained which are consistent with corresponding Hansch equations taken from the literature.
IT 69757-95-5 69760-06-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimalarial activity of, topol. anal. of, by computerized methods)
RN 69757-95-5 CAPLUS
CN 9-Phenanthrenemethanol, α-[(dibutylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)



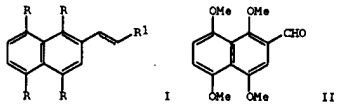
RN 69760-06-1 CAPLUS
CN 9-Phenanthrenemethanol, α-[(diheptylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)



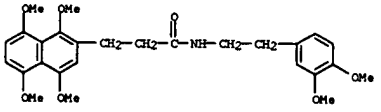
L4 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:33908 CAPLUS
DOCUMENT NUMBER: 104:33908
TITLE: Naphthalene derivatives
INVENTOR(S): Hashimoto, Kinji; Goto, Kyoto; Tsuda, Yoshiaki
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60139646	A2	19850724	JP 1983-248760	19831227
JP 03014296	B4	19910226		
PRIORITY APPLN. INFO.:			JP 1983-248760	19831227

GI



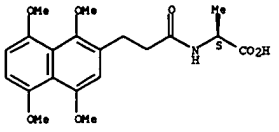
AB Naphthalene derivs. (I; R = alkoxy; R1 = CO2H, NO2, carbamoyl, dialkylcarbamoyl, etc.), effective vasodilators, thromboxane A2 biosynthesis inhibitors, cardiotonics, etc. (no data), were prepared Thus, 20 mmol II and 0.3 mL piperidine were added to a solution of 40 mmol malonic acid in pyridine at 80-85° and refluxed 3 h to give 5 g I (R = MeO, R1 = CO2H, unsatd. side chain).
IT 99724-04-6P 99724-05-7P 99724-06-8P 99724-08-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 99724-04-6 CAPLUS
CN 2-Naphthalenepropanamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-1,4,5,8-tetramethoxy- (9CI) (CA INDEX NAME)



RN 99724-05-7 CAPLUS
CN L-Alanine, N-[1-oxo-3-(1,4,5,8-tetramethoxy-2-naphthalenyl)propyl]- (9CI) (CA INDEX NAME)

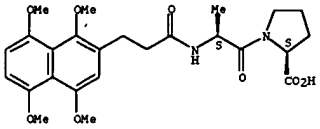
Absolute stereochemistry.

L4 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

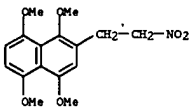


RN 99724-06-8 CAPLUS
CN L-Proline, 1-[N-[1-oxo-3-(1,4,5,8-tetramethoxy-2-naphthalenyl)propyl]-L-alanyl]- (9CI) (CA INDEX NAME)

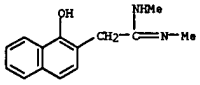
Absolute stereochemistry.



RN 99724-08-0 CAPLUS
CN Naphthalene, 1,4,5,8-tetramethoxy-2-(2-nitroethyl)- (9CI) (CA INDEX NAME)

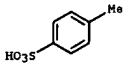


L4 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
MF C14 H16 N2 O

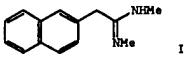


CM 2

CRN 104-15-4
CMF C7 H8 O3 S

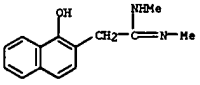


L4 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:560194 CAPLUS
DOCUMENT NUMBER: 103:160194
TITLE: New bicyclic antidepressant agent. Synthesis and activity of napactadine and related compounds
AUTHOR(S): McCarthy, James R.; Wright, Donald L.; Schuster, Albert J.; Abdallah, Abdul H.; Shea, Philip J.; Eyster, Randy
CORPORATE SOURCE: Pharmacol. Dep., Merrell Dow Res. Inst., Indianapolis, IN, 46268, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(11), 1721-7
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:160194
GI



I

AB N,N'-Dialkylarylamides (67 in all) were prepared and evaluated for antidepressant activity. Several of these were prepared from the corresponding nitriles by conversion into the amidate esters than aminolysis. Slight structural modifications caused marked changes in biol. activity and led to compds. as active as imipramine. The arylacetamidine I (napactadine) was selected for clin. study.
IT 98245-94-4P 98245-95-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antidepressant activity of)
RN 98245-94-4 CAPLUS
CN 2-Naphthaleneethanimidamide, 1-hydroxy-N,N'-dimethyl- (9CI) (CA INDEX NAME)



RN 98245-95-5 CAPLUS
CN 2-Naphthaleneethanimidamide, 1-hydroxy-N,N'-dimethyl-, mono(4-methylbenzenesulfonate) (salt) (9CI) (CA INDEX NAME)

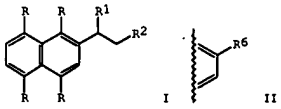
CM 1

CRN 98245-94-4

L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1985:523196 CAPLUS
DOCUMENT NUMBER: 103:123196
TITLE: 1,4,5,8-Tetraalkoxynaphthalene
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

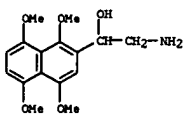
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60100542	A2	19850604	JP 1983-209712	19831107
JP 04049536	B4	19920811		
PRIORITY APPLN. INFO.:			JP 1983-209712	19831107

OTHER SOURCE(S): CASREACT 103:123196
GI



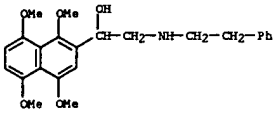
I II

AB Title compds. I [R = alkoxy; R1, R2 = OH, alkanoyloxy, NR3R4; R3, R4 = H, alkyl, cycloalkyl, (un)substituted Ph, phenylalkyl] and their salts, useful as cardiovascular agents (no data), were prepared Thus, treating 2.4 g II (R = OMe, R6 = CHO) with 1 g NaCN gave 2 g II [R = OMe, R6 = CH(OH)CN], 1.65 g of which was reduced in the presence of NaBH4 to give 500 mg II (R = OMe, R6 = CHOHCH2NH2), 310 mg of which was treated with 300 mg Me2CO in the presence of NaBH3CN to give 272 mg I (R = OMe, R1 = OH, R2 = NR3R4, R3 = H, R4 = CHMe2).
IT 98187-37-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reductive alkylation of)
RN 98187-37-2 CAPLUS
CN 2-Naphthalenemethanol, α-(aminomethyl)-1,4,5,8-tetramethoxy- (9CI) (CA INDEX NAME)

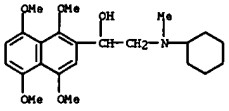


IT 98186-93-7P 98186-95-9P 98186-96-0P 98186-98-2P 98186-99-3P 98187-00-9P

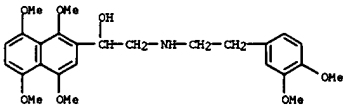
L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
98187-38-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 98186-93-7 CAPLUS
CN 2-Naphthalenemethanol, 1,4,5,8-tetramethoxy-α-[[(2-phenylethyl)amino]methyl]- (9CI) (CA INDEX NAME)



RN 98186-95-9 CAPLUS
CN 2-Naphthalenemethanol, α-[(cyclohexylmethylamino)methyl]-1,4,5,8-tetramethoxy- (9CI) (CA INDEX NAME)

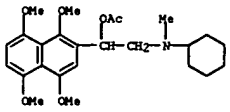


RN 98186-96-0 CAPLUS
CN 2-Naphthalenemethanol, α-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]methyl]-1,4,5,8-tetramethoxy- (9CI) (CA INDEX NAME)

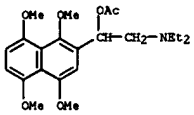


RN 98186-98-2 CAPLUS
CN 2-Naphthalenemethanol, α-[(cyclohexylmethylamino)methyl]-1,4,5,8-tetramethoxy-, acetate (ester) (9CI) (CA INDEX NAME)

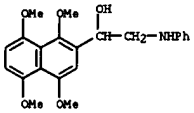
L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



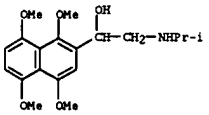
RN 98186-99-3 CAPLUS
CN 2-Naphthalenemethanol, α-[(diethylamino)methyl]-1,4,5,8-tetramethoxy-, acetate (ester) (9CI) (CA INDEX NAME)



RN 98187-00-9 CAPLUS
CN 2-Naphthalenemethanol, 1,4,5,8-tetramethoxy-α-[(phenylamino)methyl]- (9CI) (CA INDEX NAME)



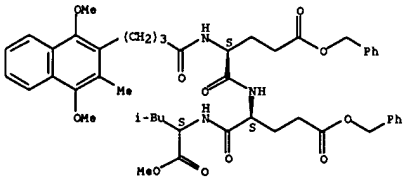
RN 98187-38-3 CAPLUS
CN 2-Naphthalenemethanol, 1,4,5,8-tetramethoxy-α-[[(1-methylethyl)amino]methyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:486235 CAPLUS
DOCUMENT NUMBER: 101:86235
TITLE: Derivatives of 2-methyl-1,4-naphthoquinone as substrates and inhibitors of the vitamin K-dependent carboxylase
AUTHOR(S): Dhaon, Madhup K.; Lehrman, S. R.; Rich, D. H.; Engelke, J. A.; Suttie, J. W.
CORPORATE SOURCE: Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Journal of Medicinal Chemistry (1984), 27(9), 1196-201
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of peptides that contain an N-terminal 2-methyl-1,4-naphthoquinone group or analogs of this structure were prepared as potential substrates or inhibitors of the rat liver microsomal vitamin K-dependent carboxylase. The parent compound, γ-2-(methyl-1,4-naphthoquinonyl-3)butyryl-Glu-Glu-Leu-OMe, was a good substrate for the carboxylase at low concns. and had a Km of .apprx.50 μM. This was roughly 2 orders of magnitude lower than the Km of most simple peptide substrates that were synthesized. Replacement of the 2-methyl-1,4-naphthoquinone group with its demethyl analog, a naphthyl, or a stearyl group decreased substrate effectiveness. At higher concns., the parent compound and its demethyl analog were potent inhibitors of the vitamin K-dependent carboxylation reaction. The degree of inhibition exhibited by these peptides was dependent on the vitamin KH2 concentration of the incubation.
IT 82376-83-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 82376-83-8 CAPLUS
CN L-Leucine, N-[N-[N-[4-(1,4-dimethoxy-3-methyl-2-naphthalenyl)-1-oxobutyl]-L-α-glutamyl]-L-α-glutamyl]-, 1-methyl 5,5'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

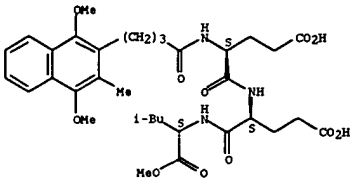
Absolute stereochemistry.



IT 82376-85-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with vitamin K-dependent carboxylase)
RN 82376-85-0 CAPLUS
CN L-Leucine, N-[N-[N-[4-(1,4-dimethoxy-3-methyl-2-naphthalenyl)-1-oxobutyl]-L-α-glutamyl]-L-α-glutamyl]-, 1-methyl ester (9CI) (CA INDEX

L4 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



L4 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:576222 CAPLUS
DOCUMENT NUMBER: 99:176222
TITLE: Quinone derivs
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

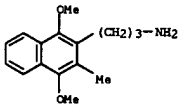
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58083698	A2	19830519	JP 1981-182725	19811113
JP 01033114	B4	19890711		
PRIORITY APPLN. INFO.:			JP 1981-182725	19811113

OTHER SOURCE(S): CASREACT 99:176222
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I (R = Me, MeO, etc.; X = CH:CH, C.tplbond.C; m = 0-3; n = 1-20; p = 1-5; q = 0-3) were prepared by deprotection of the hydroquinone derivs. II (R1 = protecting group). Thus, 4.11 g Ce(IV) NH4 nitrate in MeCN was added to a mixture of 2.5 mM II (R = MeO, R1 = Me, m = q = 0, n = 1), 1.25 g 2,6-pyridinedicarboxylic acid oxide, 10 mL MeCN, and 5 mL H2O with ice cooling over 20 min and the resulting mixture stirred at the same temperature for 20 min to give I (R = MeO, m = q = 0, n = 1) (no yield given).
In vivo and in vitro data for the antihypertensive, and antileukemia, and coronary vasodilating activities of I are given.

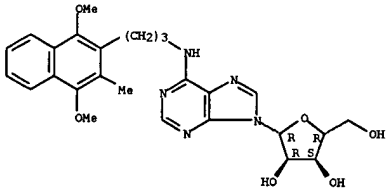
IT **87541-56-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation with chlororibofuranosylpurine)
RN 87541-56-8 CAPLUS
CN 2-Naphthalenepropanamine, 1,4-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)



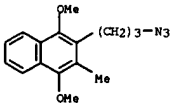
IT **87541-77-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidative demethylation of)
RN 87541-77-3 CAPLUS

L4 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Adenosine, N-[3-(1,4-dimethoxy-3-methyl-2-naphthalenyl)propyl]- (9CI) (CA INDEX NAME)

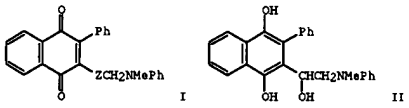
Absolute stereochemistry.



IT **87541-31-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 87541-31-9 CAPLUS
CN Naphthalene, 2-(3-azidopropyl)-1,4-dimethoxy-3-methyl- (9CI) (CA INDEX NAME)

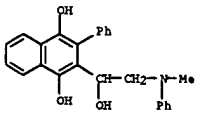


L4 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:575333 CAPLUS
DOCUMENT NUMBER: 99:175333
TITLE: Synthesis and molecular-crystalline structure of 2-phenyl-3-[1-hydroxy-2-(N-methylanilino)ethyl]-1,4-naphthoquinone
AUTHOR(S): Mishnev, A. F.; Bleidelis, J.; Larina, L.; Lokmane, E.; Freimanis, J.
CORPORATE SOURCE: Inst. Org. Sint., Riga, USSR
SOURCE: Zhurnal Organicheskoi Khimii (1983), 19(6), 1289-93
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 99:175333
GI

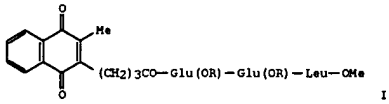


AB Reduction of naphthoquinone I (Z = CO) with NaBH4 in EtOH gave naphthalenediol
derivative II, which was oxidized by bubbling air through the reaction mixture
to give 60.1% title compound, I [Z = CH(OH)]. The x-ray crystal and mol. structure of the latter indicated an intramol. H bond involving the OH group and the adjacent C:O group, increasing the overall planarity of the mol. The increased coplanarity of its donor and acceptor fragments altered the crystal packing and hindered intermol. donor-acceptor interactions.

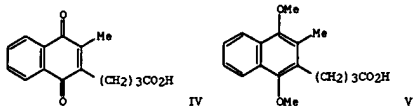
IT **87537-31-3P**
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(formation and oxidation of)
RN 87537-31-3 CAPLUS
CN 1,4-Naphthalenediol, 2-[1-hydroxy-2-(methylphenylamino)ethyl]-3-phenyl- (9CI) (CA INDEX NAME)



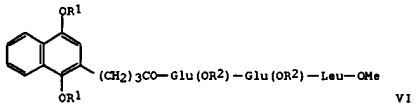
L4 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:439370 CAPLUS
DOCUMENT NUMBER: 97:39370
TITLE: Synthesis of naphthoquinone tripeptide which inhibits vitamin K-dependent carboxylase
AUTHOR(S): Lehrman, S. R.; Rich, D. H.; Goodman, H. L.; Suttie, J. W.
CORPORATE SOURCE: Sch. Pharm., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Pept.: Synth., Struct., Funct., Proc. Am. Pept. Symp., 7th (1981), 513-16. Editor(s): Rich, Daniel H.; Gross, Erhard. Pierce Chem. Co.: Rockford, Ill. CODEN: 47LMAO
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I



IV V

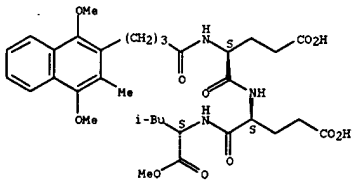


VI

AB Title tripeptide I (R = H) (II) was prepared from H-Glu(OCH2Ph)-Glu(OCH2Ph)-Leu-OMe.HCl (III) and naphthalenes IV or V. IV was condensed with III by DCC/1-hydroxybenzotriazole (HOBt) in CH2Cl2 containing Et3N to give 30% I (R = CH2Ph), which underwent hydrogenolysis over Pd/C to give tripeptide VI (R1 = R2 = H), which was oxidized by air to give >98% II. A 2nd route involved condensing V with III by DCC/HOBt in CH2Cl2 containing Et3N to give 75% VI (R1 = Me, R2 = CH2Ph), which was debenzylated by hydrogenolysis over Pd/C to give >98% VI (R1 = Me, R2 = H), which was demethylated by AgO/HNO3 to give 50% II. II and VI (R1 = H, Me; R2 = H) were assayed as sybstrates for the title enzyme; II was carboxylated to the same extent as a standard peptide, whereas IV (R1 = Me, R2 = H), was a poor substrate.

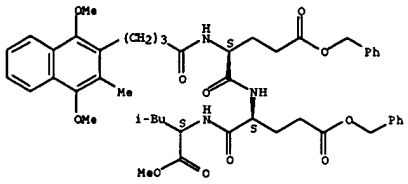
L4 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



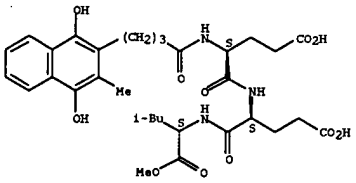
L4 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT 82376-83-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 82376-83-8 CAPLUS
CN L-Leucine, N-[N-[N-[4-(1,4-dimethoxy-3-methyl-2-naphthalenyl)-1-oxobutyl]-L-α-glutamyl]-L-α-glutamyl]-, 1-methyl 5,5'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 82376-84-9P 82376-85-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and oxidation and vitamin K dependent carboxylase substrate activity of)
RN 82376-84-9 CAPLUS
CN L-Leucine, N-[N-[N-[4-(1,4-dihydroxy-3-methyl-2-naphthalenyl)-1-oxobutyl]-L-α-glutamyl]-L-α-glutamyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

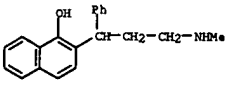


RN 82376-85-0 CAPLUS
CN L-Leucine, N-[N-[N-[4-(1,4-dimethoxy-3-methyl-2-naphthalenyl)-1-oxobutyl]-L-α-glutamyl]-L-α-glutamyl]-, 1-methyl ester (9CI) (CA INDEX

L4 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:446298 CAPLUS
Correction of: 1979:575112
DOCUMENT NUMBER: 93:46298
Correction of: 91:175112
TITLE: Heterocyclic spiro-naphthalenones. Part III. Synthesis and reactions of some spiro[naphthalene-1,2'-pyrrolidin]-2-ones and spiro[naphthalene-2,2'-pyrrolidin]-1-ones
AUTHOR(S): Berney, Daniel; Schuh, Karlheinz
CORPORATE SOURCE: Wander Res. Inst., Bern, CH-3001, Switz.
SOURCE: Helvetica Chimica Acta (1979), 62(4), 1268-74
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:46298
GI

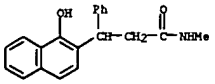
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Spironaphthalenepyrrolidinones I (R = H, R1 = Me, X = H2; R = Ph, R1 = CH2Ph, X = H2; R = Ph, R1 = Me, X = O) were obtained by treating II with N-bromosuccinimide. III similarly gave a mixture of cis- and trans-IV. NaBH4 reduction of cis-IV gave only the α-ol, whereas trans-IV gave a mixture of the α- and β-ols. The alcs. were reduced to tetrahydronaphthols, which rearranged on treatment with polyphosphoric acid to the benzofluorenopyrrole V.
IT 71593-48-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, spironaphthalenepyrrolidinone from)
RN 71593-48-1 CAPLUS
CN 1-Naphthalenol, 2-[3-(methylamino)-1-phenylpropyl]- (9CI) (CA INDEX NAME)



IT 71593-47-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 71593-47-0 CAPLUS
CN 2-Naphthalenepropanamide, 1-hydroxy-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

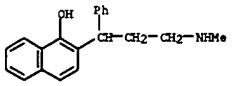
ACCESSION NUMBER: 1979:575112 CAPLUS
DOCUMENT NUMBER: 91:175112
TITLE: Heterocyclic spiro-naphthalenones. Part III. Synthesis and reactions of some spiro[naphthalene-1,2'-pyrrolidin]-2-ones and spiro[naphthalene-2,2'-pyrrolidin]-1-ones
AUTHOR(S): Berney, Daniel; Schuh, Karlheinz
CORPORATE SOURCE: Wander Res. Inst., Bern, CH-3001, Switz.
SOURCE: Helvetica Chimica Acta (1979), 62(4), 1268-74
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Spironaphthalenepyrrolidinones I (R = H, R1 = Me, X = H2; R = Ph, R1 = CH2Ph, X = H2; R = Ph, R1 = Me, X = O) were obtained by treating II with N-bromosuccinimide. III similarly gave a mixture of cis- and trans-IV. NaBH4 reduction of cis-IV gave only the α-ol, whereas trans-IV gave a mixture of the α- and β-ols. The alcs. were reduced to tetrahydronaphthols, which rearranged on treatment with polyphosphoric acid to the benzofluorenopyrrole V.

IT **71593-48-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

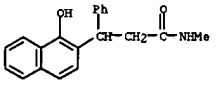
RN 71593-48-1 CAPLUS
CN 1-Naphthalenol, 2-[3-(methylamino)-1-phenylpropyl]- (9CI) (CA INDEX NAME)



IT **71593-47-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 71593-47-0 CAPLUS
CN 2-Naphthalenepropanamide, 1-hydroxy-N-methyl-β-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



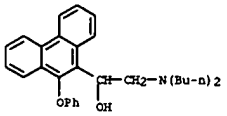
L4 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1979:161936 CAPLUS
DOCUMENT NUMBER: 90:161936
TITLE: Quantitative structure-activity relationships in 1-aryl-2-(alkylamino)ethanol antimalarials
AUTHOR(S): Kim, Ki Hwan; Hansch, Corwin; Fukunaga, James Y.; Steller, Edward E.; Jow, Priscilla Y. C.; Craig, Paul N.; Page, June
CORPORATE SOURCE: Dep. Chem., Pomona Coll., Claremont, CA, USA
SOURCE: Journal of Medicinal Chemistry (1979), 22(4), 366-91
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

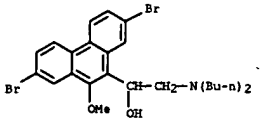
AB A quant. structure-activity relation (QSAR) was formulated for 646 arylcarbinol antimalarials (X-ArCHOHCH2NR1R2, having 60 different structures including heterocycles) against Plasmodium berghei, using a equation having 14 terms, 9 of which are indicator variables. The most important determinate of activity was the electron-withdrawing ability of X, whereas the hydrophobic nature of both X and R was less important. The correlation coefficient and the standard deviation for the QSAR were 0.898 and
0.309, resp. An addnl. number of compds. were investigated and the lack of activity of .apprx.100 analogs are discussed.

IT **52978-74-2 69756-87-2 69757-07-9**
69757-16-0 69757-18-2 69757-95-5
69760-06-1
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(antimalarial, parameters for predicting activity of)

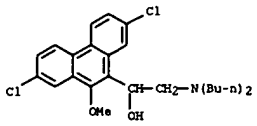
RN 52978-74-2 CAPLUS
CN 9-Phenanthrenemethanol, α-[(dibutylamino)methyl]-10-phenoxy- (9CI) (CA INDEX NAME)



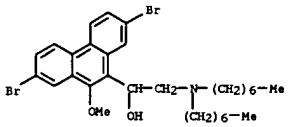
RN 69756-87-2 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dibromo-α-[(dibutylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)



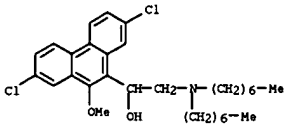
L4 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 69757-07-9 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dichloro-α-[(dibutylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)



RN 69757-16-0 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dibromo-α-[(diheptylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)

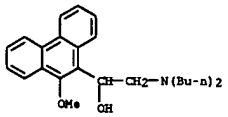


RN 69757-18-2 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dichloro-α-[(diheptylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)

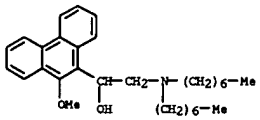


RN 69757-95-5 CAPLUS
CN 9-Phenanthrenemethanol, α-[(dibutylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)

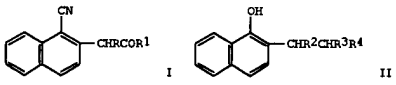
L4 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



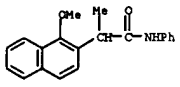
RN 69760-06-1 CAPLUS
CN 9-Phenanthrenemethanol, α-[(diheptylamino)methyl]-10-methoxy- (9CI) (CA INDEX NAME)



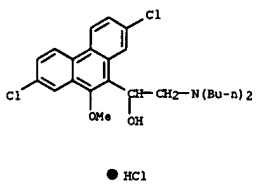
L4 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:563295 CAPLUS
DOCUMENT NUMBER: 89:163295
TITLE: Photochemical reactions of aromatic compounds. XXXII. A Michael-type alkylation of the naphthalene ring utilizing regiospecific photocycloaddition
AUTHOR(S): Pac, Chyongjin; Mizuno, Kazuhiko; Okamoto, Hisanori; Sakurai, Hiroshi
CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Suita, Japan
SOURCE: Synthesis (1978), (8), 589-90
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:163295
GI



AB 2-Alkylated naphthalenes I (R = H, R1 = H, Me; R = Et, R1 = H) and II (R2 = H, R3 = CO2Et, R4 = H, Me; R2 = Me, R3 = CN, R4 = H) were prepared by irradiation of benzene solns. of 1-cyano- or 1-(trimethylsiloxy)naphthalene and silyl enol ethers RCH:CR1OSiMe3 or acrylic acid derivs. R2CH:CR3R4, resp., followed by hydrolysis.
IT 67858-29-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 67858-29-1 CAPLUS
CN 2-Naphthaleneacetamide, 1-methoxy-α-methyl-N-phenyl- (9CI) (CA INDEX NAME)



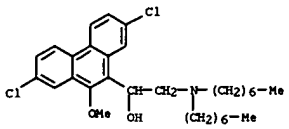
L4 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:563214 CAPLUS
DOCUMENT NUMBER: 81:163214
TITLE: Potential antimalarials. 8. 10-Substituted 9-phenanthrenemethanols
AUTHOR(S): Washburn, Lee C.; Pearson, D. E.
CORPORATE SOURCE: Dep. Chem., Vanderbilt Univ., Nashville, TN, USA
SOURCE: Journal of Medicinal Chemistry (1974), 17(7), 676-82
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Of a series of 14 title compds. prepared and tested for antimalarial activity by the Rane Plasmodium berghei test in mice, 2,7-dibromo-9-(2-dibutylamino-1-hydroxyethyl)-10-methylphenanthrene-HCl (I) [52979-66-5] was most active, giving 4/5 cures at 80 mg/kg. I was prepared from 2,7-dibromo-9-methoxyphenanthrene [16430-42-5] by bromination in the 10 position, butyllithium exchange selectively at the 10 position, and treatment with DMF to give the aldehyde, which gave the desired product in a 2-step procedure via the epoxide. I at 40 mg/kg gave twice the survival as 6-bromo-9-(2-diheptylamino-1-hydroxyethyl)phenanthrene-HCl [23257-53-6] (May compound). Structure-activity relations and applications of the reactions to other syntheses were discussed.
IT 52979-56-3P 52979-57-4P 52979-67-6P
52979-81-4P 52979-86-9P 54966-69-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)
RN 52979-56-3 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dichloro-α-[(dibutylamino)methyl]-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

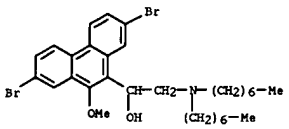
RN 52979-57-4 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dichloro-α-[(diheptylamino)methyl]-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



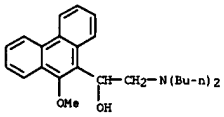
● HCl

RN 52979-67-6 CAPLUS
CN 9-Phenanthrenemethanol, 2,7-dibromo-α-[(diheptylamino)methyl]-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

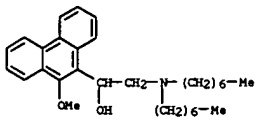
RN 52979-81-4 CAPLUS
CN 9-Phenanthrenemethanol, α-[(dibutylamino)methyl]-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

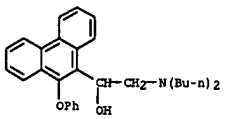
L4 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 52979-86-9 CAPLUS
CN 9-Phenanthrenemethanol, α-[(diheptylamino)methyl]-10-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 54966-69-7 CAPLUS
CN 9-Phenanthrenemethanol, α-[(dibutylamino)methyl]-10-phenoxy-, hydrochloride (9CI) (CA INDEX NAME)

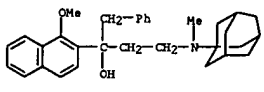


● HCl

L4 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:403485 CAPLUS
DOCUMENT NUMBER: 81:3485
TITLE: Analgesic N-(1-adamantyl)-3-hydroxy-3-phenylpropanamine derivatives
PATENT ASSIGNEE(S): Delmar Chemicals Ltd.
SOURCE: Brit., 21 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

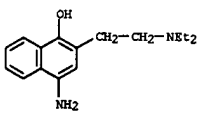
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1347871	A	19740227	GB 1971-10458	19710421
PRIORITY APPLN. INFO.:			GB 1971-10458 A	19710421

GI For diagram(s), see printed CA Issue.
AB Forty-two title compds. (I; n = 1, 2; m = 0, 1; R = H, alkoxy; R1 = substituted and unsubstituted phenyl, 2-thienyl, 2-furyl, and naphthyl; R2 = H, Me, Et; R3 = H, Me, Et, MeC.tplbond.C) and/or their hydrochlorides were prepared by Mannich reaction of appropriate 1-aminoadamantanes, HCHO, and R1COCH2R2 to give ketone (II) and subsequent treatment of II with RnC6H5-n(CH2)mMgCl. Thus, 1-aminoadamantane hydrochloride, PhCOMe, and 37% aqueous HCHO acidified with concentrated HCl were refluxed 4 hr to give II.HCl (R1 = Ph, R2 = R3 = H). Its free base in Et2O was treated with PhCH2MgCl to give I.HCl (m = 1, R = R2 = R3 = H, R1 = Ph). The results of pharmacodynamic tests were given.
IT 52955-30-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 52955-30-3 CAPLUS
CN 2-Naphthalenemethanol, 1-methoxy-α-[2-(methyltricyclo[3.3.1.13,7]dec-1-ylamino)ethyl]-α-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



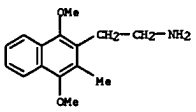
● HCl

L4 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1972:539660 CAPLUS
DOCUMENT NUMBER: 77:139660
TITLE: Synthesis of (heterocyclicamino)aminoalkylnaphthols and reduced tetrahydro derivatives for possible antimalarial activity
AUTHOR(S): Nabih, I.; Nasr, M.; Badawi, M. A.
CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt
SOURCE: Journal of Pharmaceutical Sciences (1972), 61(9), 1500-2
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 1-Naphthols I (R = NEt2, piperidino; R1 = NH2, NO2, 7-chloro-4-quinolylamino, 6-chloro-2-methoxy-9-acridylamino) and the corresponding tetrahydronaphthols II were prepared E.g., treatment of 4-nitro-1-naphthol and Et2NH in absolute EtOH with 37% H2CO gave I (R = NEt2, R1 = NO2). Reaction of II (CH2R = H, R1 = NHAc) with Et2NH and paraformaldehyde in absolute EtOH gave II (R = NEt2, R1 = NHAc).
IT 37796-63-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dichloroquinoline and dichloromethoxyacridine)
RN 37796-63-7 CAPLUS
CN 1-Naphthalenol, 4-amino-2-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



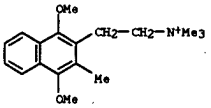
L4 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1969:47149 CAPLUS
DOCUMENT NUMBER: 70:47149
TITLE: Synthesis of 2-methyl-3-vinyl-1,4-naphthoquinones
AUTHOR(S): Bondinell, William E.; DiMari, Samuel J.; Frydman, Benjamin; Matsumoto, Kent; Rapoport, Henry
CORPORATE SOURCE: Univ. of California, Berkeley, CA, USA
SOURCE: Journal of Organic Chemistry (1968), 33(12), 4351-62
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Chlorobiumquinone (Ia), previously isolated from Chlorobium thiosulfatophilum and characterized as a 2-methyl-3-vinylmultiprenyl-1,4-naphthoquinone, is unique among natural multiprenylquinones in being a vinyl- rather than an allylquinone. Various approaches to the synthesis of 2-methyl-3-vinyl-1,4-naphthoquinone (I) derivs. were studied, and two general syntheses developed, both constructing the substituted vinyl side chain via the Wittig reaction. A primary requirement for both methods was a protecting protocol for the 1,4-O functions which would be inert to the ylide yet would allow generation of the quinone without destruction of the vinyl group. Such functionality was provided by the 1-pivalate ester-4-Me ether. These groups do not react with the ylide, and removal of the ester with LiAlH4 and oxidation of the 1-hydroxy-4-methoxy compound with FeCl3 gave quinone while leaving the vinyl side chain intact. One synthesis proceeded via 3-chloromethyl-4-methoxy-2-methyl-1-naphthyl pivalate which was converted into its tri-phenylphosphonium salt and thence to vinyl derivative by generation of the naphthalenic ylide and reaction with a carbonyl component. The other synthesis utilized the 3-naphthaldehyde, prepared from the chloromethyl compound and K 2-propanenitronate, in reaction with the appropriate ylide. To avoid isomers, some secondary ylides were prepared by alkylation of primary ylides. The relative advantages and disadvantages of both methods are considered. The separate, isomeric, vinyl compds. were obtained, and cis and trans stereochem. assignments made by relating their N.M.R. absorptions to those of unambiguous synthetic models. Various vinyl substitution patterns can be easily distinguished from the uv absorption of the resulting I derivs. 47 references.
IT **17827-38-2P 17827-57-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17827-38-2 CAPLUS
CN 2-Naphthaleneethylamine, 1,4-dimethoxy-3-methyl-, hydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 17827-57-5 CAPLUS
CN Ammonium, [2-(1,4-dimethoxy-3-methyl-2-naphthyl)ethyl]trimethyl-, iodide (8CI) (CA INDEX NAME)

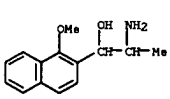


● I⁻

L4 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1966:103968 CAPLUS
DOCUMENT NUMBER: 64:103968
ORIGINAL REFERENCE NO.: 64:19518h,19519a-b
TITLE: β-Adrenergic blocking medicaments
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 19 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR M3564		19651102	FR	
PRIORITY APPLN. INFO.:			GB	19620117

GI For diagram(s), see printed CA Issue.
AB Compns. containing compds. of the general formula I have β-adrenergic blocking activity and are useful in the treatment of coronary arterial disorders. The compns. may be in the form of tablets and capsules containing 5-500 mg. I. The preparation of compns. is described containing I (R and NR'R'' given): H, EtNH; H, PrNH; H, cyclohexylamino; Me, NH2; H, PhCH2CH2NH; H, BuNH; H, iso-PrNH; H, iso-Pr2N (II); H, piperidino; H, Me2N. To a stirred solution of 10 parts 2-bromoacetylnaphthalene in 10 parts MeOH was rapidly added 3 parts NaBH4 at <25° and, after 30 min. at 20°, pouring into ice and extracting with Et2O gave crude 1-(2-naphthyl)-2-bromoethanol (III). Heating 6.3 parts III and 8 parts iso-Pr2NH in 16 parts EtOH under reflux 16 hrs. gave after evaporation, conversion to the hydrochloride, and chromatography of the base on Al2O3, II.HCl, m. 160-1° (MeOH-AcOEt).
IT **6047-54-7**, 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy-
(preparation of)
RN 6047-54-7 CAPLUS
CN 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (7CI, 8CI) (CA INDEX NAME)

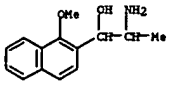


L4 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1966:103967 CAPLUS
DOCUMENT NUMBER: 64:103967
ORIGINAL REFERENCE NO.: 64:19518e-h
TITLE: N-(1-Naphthylmethyl)guanidine and acid addition salts thereof
INVENTOR(S): Dvornik, Dusan
PATENT ASSIGNEE(S): American Home Products Corp.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3248426		19660426	US	19620301

AB The title compds. were prepared by converting the NH2 group in 1-naphthylmethylamine (I) to a guanidino group and treating the free compound with a halogen acid. Thus, an emulsion of 16.8 g. I and 23.4 g. S-methylisothiuronium iodide in 50 ml. H2O was stirred under reflux and N 6.5 hrs., cooled, and filtered to give 20 g. iodide salt. The salt was dissolved in 100 ml. hot H2O, the solution made strongly alkaline with NaOH, the oily base formed extracted with CHCl3, the CHCl3 extract dried (Na2SO4), then treated with dry HCl to give an oily chloride salt which crystallized spontaneously on addition of EtOAc to give N-(1-naphthylmethyl)guanidinium iodide (II) (R = R1 = H, X = I) (III), m. 197-200° (MeOH-EtOAc). To a solution of 7 g. I, 80 ml. BuOH and 44.5 millimoles 1-guanyl-3,5-dimethylpyrazole nitrate was added, the mixture refluxed 2 hrs. under N and cooled, the crystalline product produced dissolved in MeOH and treated with C to give 8 g. nitrate salt (IV), m. 154-60°. IV was dissolved in MeOH, made strongly alkaline with NaOH, the separated oily base dissolved in MeOH and acidified with gaseous HCl, and the resultant solution evaporated to dryness in vacuo. The residue was taken up in Me2CO and the Me2CO solution treated with Et2O to give III, m. 198° (MeOH-EtOAc). Also prepared were the following II (R, R1, X, and m.p. given): Me, H, I, 189-90° (MeOH-EtOAc); Me, H, Cl, 210-11° (Me2CO-Et2O); Bu, H, picrate, 127-8° (iso-PrOH-Et2O); Me, Me, I, 209-11° (H2O). These compds. have hypotensive properties which are due to peripheral sympathetic blockade; they also have good intestinal absorption after oral administration, a property especially desirable in the treatment of chronic hypertension.
IT **6047-54-7**, 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy-
(preparation of)
RN 6047-54-7 CAPLUS
CN 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (7CI, 8CI) (CA INDEX NAME)

L4 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

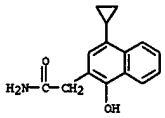


L4 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1965:82334 CAPLUS
DOCUMENT NUMBER: 62:82334
ORIGINAL REFERENCE NO.: 62:14592h,14593a-b
TITLE: Structure of the product of pyrolysis from the reaction of α-cyclopropylstyrene with maleic anhydride
AUTHOR(S): Sarel, Shalom; Breuer, Eli
CORPORATE SOURCE: Hebrew Univ. School Pharm., Jerusalem
SOURCE: Chemistry & Industry (London, United Kingdom) (1965), (11), 467
CODEN: CHINAG; ISSN: 0009-3068
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cf. CA 54, 17293e. The title product (I) was shown to be 4-cyclopropyl-1-hydroxy-2naphthylacetic acid lactone, m. 161-2°, on the basis of its chemical analysis, its uv spectrum with peaks at 230 mμ (ε 63,000) (the extinction value given for the 1st maximum (loc. cit.) is wrong), 277 mμ (ε 6600), and ir spectrum with the carbonyl band at 1818 cm. -1 The structure was also confirmed by the synthesis: by alkaline hydrolysis followed by neutralization, of the hydroxy acid (IIa), m. 144-5°, λEtOH 234 mμ (41000), 281 mμ (4180), λKBr 1724 cm.-1 (carbonyl); by methanolysis of the hydroxy ester (IIb), m. 125-6° λKBr 1733 cm.-1 (ester carbonyl), and by ammonolysis of the hydroxyamide (IIc), m. 183-5° λKBr 1667 cm.-1 (amide carbonyl). Short heating of IIa, IIb, or IIc above the m.p. regenerated I. Etherification of the phenolic group in IIa gave the carboxy-ether (III), m. 163-4°, which showed no tendency to form I on heating, and which, unlike IIa, IIb, and IIc, gave no color with FeCl3 in EtOH solution The N.M.R. spectrum of I showed multiplets between 0.5-0.8 ppm. (2 protons), 0.88-1.35 ppm. (2 protons), and at 1.5-2.2 ppm. (1 proton) which are characteristic of cyclopropyl H atoms; a doublet centered at 3.8 ppm. (2 protons) assigned to the H atoms α to the carbonyl; and a singlet at 7.22 ppm. (1 proton) assigned to H1. The multiplets seen at the lower field between 7.30-7.85 ppm. represent H3, H4, H5, H6.

IT 2089-71-6, 2-Naphthaleneacetamide, 4-cyclopropyl-1-hydroxy- (preparation of)
RN 2089-71-6 CAPLUS
CN 2-Naphthaleneacetamide, 4-cyclopropyl-1-hydroxy- (7CI, 8CI) (CA INDEX NAME)



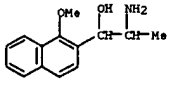
L4 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1964:60720 CAPLUS
DOCUMENT NUMBER: 60:60720
ORIGINAL REFERENCE NO.: 60:10621f-g
TITLE: Naphthols
INVENTOR(S): Gac, Robert; Zeppieri, Louis
PATENT ASSIGNEE(S): Progil
SOURCE: 21 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1344298		19631129	FR	19620830
GB 1038147			GB	

AB Tetralones and tetralols were heated at .apprx. their b.p. at 1-5 atmospheric in the presence of a dehydrogenation catalyst such as Ni, Cu, Fe, Co, Cr, or Pt on a CaO, MgO, CuO, SrO, or ZnO support to give the title compds. (apparatus pictured). Thus, 1 part CuO was mixed with 2 parts ZnO, cylindrical pellets (3 + 3 mm.) were prepared from the mixture, and the pellets reduced in H at 100-275° to give a catalyst containing metallic Cu. The prepared catalyst (1000 g.) was placed in a reactor at 200°, 1700 g. tetralone preheated at 200°, and the tetralone passed over the catalyst bed at 10 m./hr. 10 hrs. to give a product containing 22.1% α-naphthol and no tetrahydronaphthol.

IT 6047-54-7, 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (pharmaceutical containing)
RN 6047-54-7 CAPLUS
CN 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

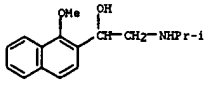
ACCESSION NUMBER: 1964:52602 CAPLUS
DOCUMENT NUMBER: 60:52602
ORIGINAL REFERENCE NO.: 60:9221f-h
TITLE: 2-Alkylamino-1-(2-naphthyl)ethanols
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 13 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 624532		19630507	BE	
GB 1005024			GB	
PRIORITY APPLN. INFO.:			GB	19611108

GI For diagram(s), see printed CA Issue.

AB 2-Naphthylglyoxal hydrate (I) is mixed with amines and hydrogenated to give II which can be used to treat coronary arterial disorders. A solution of 4 parts 2-C10H7COCH2Br in 30 parts Me2SO is kept 48 hrs. at room temperature to give I, m. 110° (H2O). A mixture of 0.5 part PtO2 and 15 parts EtOH is agitated at room temperature under H until H absorption stops, 15 parts iso-PrNH2 and 2 parts I are added, and the mixture is agitated at room temperature under H until H absorption stops to give 2-isopropylamino-1-(2-naphthyl)ethanol, m. 105-6°. Similarly prepared are the following II (R, m.p., and m.p. HCl salt given): sec-Bu, 82-3° (petr. ether), --; iso-Bu, --, 196-8° (MeOHMe2CO); Pr, 98-9°, 192-3° (MeOH-EtOAc); tert-Bu, 129-30°, --; Et, 110-11°, --; Bu, 94, --. Also prepared are 2-isopropylamino-1-(1-methoxy-2-naphthyl)ethanol, m. 140-2°, 1-(2-naphthyl)-2-isopropylmethylaminoethanol-HCl, m. 177-8° (MeOH-EtOAc), and 1-methoxy-2-naphthylglyoxal hydrate, m. 110° (aqueous EtOH).

IT 93025-08-2, 2-Naphthalenemethanol, α-[(isopropylamino)methyl]-1-methoxy- (preparation of)
RN 93025-08-2 CAPLUS
CN 2-Naphthalenemethanol, α-[(isopropylamino)methyl]-1-methoxy- (7CI) (CA INDEX NAME)



L4 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1963:454730 CAPLUS
DOCUMENT NUMBER: 59:54730
ORIGINAL REFERENCE NO.: 59:9946d-h,9947a-g
TITLE: Synthesis of furano compounds. XXV. Unequivocal synthesis of several naphthofurans
AUTHOR(S): Chatterjea, Jnanendra Nath; Mehrotra, Vishnu Narain; Roy, Sunil Kumar
CORPORATE SOURCE: Univ. Patna, India
SOURCE: Ber. (1963), 96, 1167-76
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB Dinaphtho[1',2':2,3:2'',1'':4,5]furan (α-dinaphthylene oxide) (I) and dinaphtho [2',1': 2,3: 1'',2'': 4,5] furan (β-dinaphthylene oxide) (II) were prepared by an unequivocal route and converted to the quinone. 1-ClOH7OCH2Bz (12.0 g.) and 70.0 g. P2O5 in 35.0 cc. H3PO4 stirred 15 h. at 170-80° yielded in the usual manner 75% 2-phenyl-6,7-coumarone, needles, m. 89-90° (EtOH or AcOH); picrate, yellow needles, m. 126-7° (EtOH). 1,2-MeOC10H6CO2H (6.7 g.) and 8 cc. COCl2, refluxed 2 h. with 1 drop C5H5N and evaporated, the residue evaporated again with C6H6, dissolved in 30 cc. dry C6H6, added dropwise with cooling during 1 h. to CH2N2 from 14.0 g. H2NCON(NO)Me in 150 cc. Et2O, and refrigerated overnight, and the resulting brown, oily diazoketone (III) treated with AcOH yielded 6,7-benzo-3-coumarone (IV), needles, m. 115-17° (EtOH). III in 90 cc. dioxane added dropwise during 1 h. at 70 80° to 80 cc. 40% AgNO3 in NH4OH, heated 3 h. on the water bath, filtered, and diluted with H2O precipitated the amide (V) of 1,2-MeOC10H6CH2CO2H (VI), needles, m. 171-3° (EtOAc). V (1.2 g.) refluxed 9 h. with 25 cc. 10% aqueous alc. NaOH, concentrated, diluted with H2O, and acidified yielded VI, plates, m. 171-3° (EtOH). VI (1.0 g.), 8.0 cc. AcOH, and 8 cc. HBr refluxed 4-5 h. and poured onto crushed ice gave 1-hydroxy naphthalene-2-acetic acid lactone (VII), plates, m. 108-9° (EtOH). VII (1.0 g.), 5.0 g. Bz2O, and 1.0 g. dry NaOBz heated 3 h. at 170-80° under CO2 and then 0.5 h. on the water bath with aqueous K2CO3, the solution decanted, the residue again heated with aqueous K2CO3, and the combined alkaline aqueous solns. acidified with HCl and filtered yielded 0.15 g. 3-benzoyl-6,7-benzocoumaran-2-one (VIII), light green needles, m. 136-8° (EtOH); yellow-green in concentrated H2SO4; the alkali-insol. residue recrystd. from AcOH yielded 0.5 g. enol benzoate of VIII. VIII (0.15 g.), 10 cc. AcOH, and 4.0 g. HBr refluxed 6 h. and poured into H2O yielded 2-phenyl-6,7-benzocoumarone (IX), m. 88-90° (EtOH). IX (4.0 g.), 2.0 g. HCONMe2, and 3.0 cc. POCl3 heated 6-7 h. on the water bath yielded 4.2 g. 3-CHO derivative (X) of IX, needles, m. 136-7° (EtOH or AcOH); 2,4-dinitrophenylhydrazone, red, m. above 300° (PhNO2). X reduced by the Wolff-Kishner procedure gave the 3-Me derivative of IX, needles, m. 118-19° (EtOH). X (4.2 g.), 3.0 g. hippuric acid, 1.5 g. NaOAc, and 10.0 cc. Ac2O heated 15-20 min. on the water bath and treated with EtOH yielded the azolactone, yellow needles, m. 219-20° (C6H6); a 4.0-g. portion and 80 cc. 10% aqueous alc. KOH refluxed 6-8 h. gave the brown, tacky 3-CH2COCO2H derivative (XI) of IX. Crude XI (1.0 g.) in 10.0 cc. AcOH refluxed 4-5 h. with 5.0 cc. HBr, and

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the resulting gummy mass mixed with CaO and distd. gave I, needles, m. 180-1° (chromatographed and recrystd. from C6H6-EtOH and C6H6); 2,4,7-trinitrofluorenone adduct, gray plates, m. 269-71° (AcOH). 2-ClOH7OCH2Bz (12.0 g.) in 250 cc. C6H6 refluxed 18 h. with 72.0 g. P2O5 yielded 100% 3-phenyl-4,5-benzocoumarone (XII), brown, green-fluorescing liq., b2 200°; orange-red picrate m. 105°. 2-ClOH7OMe (31.6 g.) in 50 cc. dry CS2 treated with 28.0 g. BzCl and then with shaking and cooling with 27.0 g. powd. AlCl3, kept overnight, and evapd., and the residue decompd. with iced H2O, acidified with HCl, and blown with steam yielded 17.0 g. 1,2-BzC10H6OH (XII), yellow plates, m. 135-7° (EtOH). XII (5.0 g.), 5.0 cc. BrCH2CO2Et, 12.0 g. K2CO3, and 40.0 cc. dry Me2CO refluxed 6-8 h. and worked up yielded 7.0 g. oily Et ester of 1,2-BzC10H6OCH2CO2H (XIII) which refluxed 0.5 h. with 40 cc. 10% aq.-alc. NaOH, concd., and acidified gave 3.5 g. XIII, m. 174° (C6H6). XIII (3.5 g.), 28.0 cc. Ac2O, and 6.0 g. NaOAc refluxed 0.5 h., poured into H2O, and extd. with Et2O yielded 2.1 g. viscous XII; orange-red picrate m. 105°. XII (2.9 g.) in 1.0 g. HCONMe2 treated dropwise with cooling with 1.4 cc. POCl3, heated 2 h. on the water bath, cooled, treated with aq. Na2CO3, and filtered yielded 1.7 g. 2-CHO deriv. (XIV) of XII, plates, m. 121-2° (EtOH); 2,4-dinitrophenylhydrazone, red needles, m. 302-3° (AcOH). XIV (5.4 g.), 3.6 g. hippuric acid, 1.6 g. NaOAc, and 12.0 cc. Ac2O heated 15 min. on the water bath, cooled, treated with EtOH, kept overnight, and filtered yielded 8.2 g. azolactone, yellow needles, m. 281-2° (C6H6); a 1.5-g. portion and 25 cc. 10% aq. KOH refluxed 10 h., cooled, filtered, dild. with H2O, satd. with SO2, filtered from the BzOH, boiled with concd. HCl, and cooled gave the 2-CH2COCO2H deriv. (XV) of XII, leaflets, m. 214° with sintering at 190° (decompn.), dark red in concd. H2SO4. Crude XV (0.05 g.), 2.0 cc. AcOH, and 1 cc. 48% HBr refluxed 3 h. and poured into H2O gave the 4'-CO2H deriv. of II, which distd. with CaO yielded II, m. 152-4°. XIV (1.6 g.) in 80 cc. Me2CO treated dropwise during 1 h. with 100 cc. 5% aq. KMnO4, decolorized with SO2, filtered, and acidified with HCl gave the 2-CO2H deriv. (XVI) of XII, light yellow needles, m. 236-7° (AcOH). Et ester (7.5 g.) of XIII in 15 cc. abs. EtOH refluxed 2 h. and poured into H2O gave 4.2 g. 2-CO2Et deriv. (XVII) of XII, yellow needles, m. 126° (EtOH), greenish yellow in concd. H2SO4. XVII (4.2 g.) in 50 cc. 10% aq. alc. NaOH refluxed 45 min., concd., and acidified yielded XVI, m. 237-8°, which with CH2N2 yielded the Me ester of XVI, needles, m. 160-1° (MeOH). XVI (2.5 g.) and 5.0 cc. SOCl2 refluxed 2 h. with 1 drop C5H5N and evapd., the residue in 30 cc. dry C6H6 added dropwise to 50 cc. CH2N4-Et2O from 5.0 g. H2NCON(NO)Me at 0°, refrigerated overnight, and evapd. gave 2.3 g. crude diazoketone (XVIII), yellow prisms, m. 134-5° (decompn.). XVIII (2.3 g.) in 60 cc. dioxane added dropwise during 0.5 h. to 40 cc. 10% AgNO3 in 30 cc. concd. NH4OH at 70° with stirring, heated 3 h. on the steam bath, cooled, dild. with H2O, and filtered gave the 2-CH2CONH2 deriv. (XIX) of XII, prisms, m. 216-18° (EtOAc-petr. ether). XIX refluxed 8 h. with 25 cc. 10% aq.-alc. KOH and evapd., dild. with H2O, filtered, and acidified gave the 2-CH2CO2H deriv. (XX) of XII, pale yellow prisms, m. 190° (AcOH or C6H6). XVIII with PhNH2 in C6H6 gave the 2-CH2CONHPh deriv. of XII, yellow solid, m. 193-5° (C6H6). XX (0.8 g.) in 25.0 cc. C6H6, refluxed 3-4 h. with 4.0 g. P2O5, cooled, decompd. with H2O, and extd. with Et2O, and the viscous residue from the ext. treated a few days with AcOH yielded the 4'-OH deriv. of II, yellow needles, m. 188° (AcOH). 4,5-Benzocoumaronedione (XXI) (1.0 g.) added to 0.12 g. Na in 15

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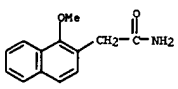
cc. abs. MeOH, treated with 1.0 g. BzCH2Br, refluxed 2 h., cooled, refrigerated overnight, filtered, and concd. yielded Me ester (XXII) of 2-benzoyl-4,5-benzocoumarone-3-carboxylic acid (XXIII), m. 90°. XXII sapond. with aq. alc. 10% NaOH, acidified, and extd. with Et2O yielded XXIII, m. 184°, deep purple in concd. H2SO4. XXIII refluxed 0.5 h. with SOCl2, evapd., dissolved in CS2, treated with 1.0 g. AlCl3, and cooled 6 h., the CS2 decanted, the residue treated with H2O, and the crude product chromatographed on Al2O3 yielded 1'', 4''-dioxo-1'', 4''-dihydrodinaphtho [2', 1': 2, 3: 2'', 3'': 4, 5] furan, m. 270-1° (C6H6). 6, 7-Isomer of XXI (0.8 g.) added to 1.0 g. Na in 10 cc. abs. MeOH, treated with 0.7 g. BzCH2Br, refluxed 4 h., refrigerated, sapond. with aq. NaOH, and acidified yielded 2-benzoyl-6,7-benzocoumarone-3-carboxylic acid (XXIV), m. 182-5° (AcOH). XXIV in CS2 refluxed with SOCl2 and evapd., the residue in CS2 treated several hrs. with cooling with 0.5 g. AlCl3, the CS2 decanted, the residue decompd. with H2O, and the product chromatographed yielded 1', 4'-dioxo-1', 4'-dihydrodinaphtho[2', 3': 2, 3 : 2'', 1'': 4, 5]furan, m. 225-8° (AcOH).
IT 92028-75-6, 2-Naphthaleneacetamide, 1-methoxy- (preparation of)
RN 92028-75-6 CAPLUS
CN 2-Naphthaleneacetamide, 1-methoxy- (7CI) (CA INDEX NAME)

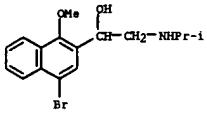


L4 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1963:33186 CAPLUS
DOCUMENT NUMBER: 58:33186
ORIGINAL REFERENCE NO.: 58:5597f-h,5598a-b
TITLE: Naphthalene derivatives
INVENTOR(S): Stephenson, John S.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 8 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 909357		19621031	GB	19600504
US 3215732		1965	US	

GI For diagram(s), see printed CA Issue.
AB I, where R1 is H or Me, R2 a branched-chain Pr or Bu, and where the nucleus may, optionally, bear 1 or more halo substituents and (or) 1 or more alkyl or alkoxy substituents of not more than 4 C atoms, and the nontoxic, acid-addition salts, could be synthesized. Thus, a solution of 2-naphthacyl bromide 10 in MeOH 180 was stirred and NaBH4 3 parts added quickly, below 25° the mixture stirred for 30 min., and then poured onto ice and extracted with Et2O. The extract was washed with H2O, dried (Na2SO2), and evaporated to dryness, the residue dissolved in anhydrous alc. 90 and refluxed with iso-PrNH2 20 parts 16 h. The solution was then evaporated to dryness in vacuo and the solid residue suspended in H2O 50, acidified with HBr, and allowed to crystallize, and the product recrystd. from aqueous Me2CO to give [2-hydroxy-2-(2-naphthyl)ethyl]isopropylamineHBr, m. 177°. The following ZNRR' [Z = 2-hydroxy-2(2-naphthyl)] (R, R', m.p. given) were also prepared: tert-Bu, H, 124° [oxalate m. 249° (decomposition)]; sec-Bu, H, -- [HCl salt m. 142-4°]; H, iso-Bu, -- [HCl salt m. 196-8°]; iso-Pr, H, --(HCl salt m. 184°; starting material, ZBr, m. 66-8°). Also prepared were [2-(6-ethyl-2-naphthyl)-2-hydroxyethyl]isopropylamine oxalate, m. 227-9°; 2-bromo-1-(6-ethyl-2-naphthyl)-1-hydroxyethane, m. 74-5°; [2-hydroxy-2-(6-bromo-2-naphthyl)-ethyl]isopropylamine-HBr, m. 193-5°; [2-(4-bromo-1-methoxy-2-naphthyl)-2-hydroxyethyl]isopropylamine-HCl, m. 222-4°; 2-bromo-1-(4-bromo-1-methoxy-2-naphthyl)-1-hydroxyethane, m. 81-2°; [2-(5-bromo-6-methoxy-2-naphthyl)-2-hydroxyethyl]isopropylamine, m. 145°; 2-bromo-1-(5-bromo-6-methoxy-2-naphthyl)-1-hydroxyethane, m. 104-5°; [2-hydroxy-2-(6-methoxy-2-naphthyl)ethyl]isopropylamine, m. 130-1°; 2-chloro-1- hydroxy-1-(6-methoxy-2-naphthyl)ethane, m. 55-6°; [2-hydroxy-2-(2-naphthyl)ethyl]isopropylamine, m. 108°; 2-naphthylethylene oxide, m. 54-5°; 2-hydroxy-2-(2-naphthyl)ethylamine, m. 118°; N-[2-hydroxy-2-(2-naphthyl)ethyl]phthalimide, m. 186-8°; 2-(isopropylaminoacetyl)naphthalene oxalate, m. 234-5°; [2-hydroxy-2-(2-naphthyl)ethyl]methylisopropylamine-HCl, m. 170-2°; and 2-methylisopropylaminoacetyl-naphthalene oxalate, m. 185-7°.
IT 94094-13-0, 2-Naphthalenemethanol, 4-bromo-α-[(isopropylamino)methyl]-1-methoxy-, hydrochloride (preparation of)
RN 94094-13-0 CAPLUS
CN 2-Naphthalenemethanol, 4-bromo-α-[(isopropylamino)methyl]-1-methoxy-, hydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

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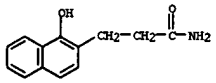
ACCESSION NUMBER: 1962:475840 CAPLUS
DOCUMENT NUMBER: 57:75840
ORIGINAL REFERENCE NO.: 57:15063a-c
TITLE: δ-Lactones and δ-lactams. XXVIII. Dehydrobromination of dibromides of isomeric benzotetrahydrocoumarins
AUTHOR(S): Shusherina, N. P.; Dmitrieva, N. D.; Levina, R. Ya.
CORPORATE SOURCE: State Univ., Moscow
SOURCE: Zhurnal Obshchei Khimii (1962), 32, 213-16
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 52, 6330e; 54, 12127a; 57, 13716h. 7,8-Benzo-Δ9,10-tetrahydrocoumarin and Br in cold Et2O gave 9,10-dibromo-7,8-benzohexahydrocoumarin, which heated until all HBr evolution ceased gave a distillate, b13 210-15°, which leached with aqueous NaOH left a residue of 7,8-benzo5,6-dihydrocoumarin, 22%, m. 112-13°, while the alkaline solution after acidification gave 40% 7,8-benzo-3,4-dihydrocoumarin, m. 74-5°, did not react with maleic anhydride, but gave the corresponding piperidide, m. 161-2°, and amide, m. 106-7°, after treatment with the bases in aqueous medium. Bromination of 5,6-benzo-Δ9,10-tetrahydrocoumarin as above in CCl4 gave the 9,10-dibromide, m. 75-80°, which heated in dry air gave mixed products, b13 220-5°, which could not be separated satisfactorily. However treatment with maleic anhydride gave 6% maleic anhydride adduct of 5,6-benzo7,8-dihydrocoumarin, decomposed at 333-4° (with aqueous NaOH this gave the tetrabasic acid, which with CH2N2 gave tetraMe ester, m. 205-6°). Treatment of the mixed products with piperidine gave 3-(2-hydroxy-1-naphthyl)propiopiperidide, m. 128-9°. Similarly was prepared the amide, m. 170.1°, indicating the original presence of 5,6-benzo-3,4-dihydrocoumarin in the dehydrobrominated mixture

IT 92028-78-9, 2-Naphthalenepropionamide, 1-hydroxy- (preparation of)

RN 92028-78-9 CAPLUS
CN 2-Naphthalenepropionamide, 1-hydroxy- (7CI) (CA INDEX NAME)



L4 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1954:68114 CAPLUS
DOCUMENT NUMBER: 48:68114
ORIGINAL REFERENCE NO.: 48:12112h-i,12113a-i
TITLE: Synthesis of 3-methylisoquinolines
AUTHOR(S): Govindachari, T. R.; Pai, B. R.
CORPORATE SOURCE: Presidency Coll., Madras, India
SOURCE: Journal of Organic Chemistry (1953), 18, 1253-62
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 48:68114

AB 2,5-(MeO)2C6H3CH2CH:CH2 heated with KOH in (CH2OH)2 at 170-5° gives 85% 2,5-dimethoxy-1-propenylbenzene (I), b13 126°, n20D 1.556. Adding (20 min.) 10 cc. 4N H2SO4 to 1 g. I in 10 cc. ether and 21 g. NaNO2 in 8 cc. H2O gives 18 g. (from 20 runs) 2,5-dimethoxy-1-propenylbenzene pseudonitrosite (II), m. 130° (decomposition). Adding 2 cc. Ac2O containing 1 drop concentrated H2SO4 to 7 g. II in 20 cc. Ac2O cooled with ice, and after 2 h., pouring it into H2O give 2,5-(MeO)2C6H3CH(OH)CH(NO2)Me (III), decomposing on distillation Reducing 7 g. III in 100 cc. EtOH, 50 cc. AcOH, and 3 cc. concentrated HCl at a Hg cathode below 60°, neutralizing the solution with NaOAc, evaporating it in vacuo to dryness, dissolving the residue in 50 cc. H2O, and saturating it with NaHCO3 give 3.1 g. 2,5-(MeO)2C6H3CH(OH)CH(NHAc)Me (IV), m. 156°, which, acetylated with Ac2O and C5H5N, gives the O-Ac derivative m. 98-100°. Refluxing 1 g. IV in 10 cc. PhMe with 3 cc. POCl3, 75 min., pouring the mixture into ice H2O, making it alkaline, extracting with ether, and passing the residue of the ether extract in C6H6 through Al2O3 give 0.65 g. 1,3-dimethoxy-5,8-dimethoxy-quinoline (IVA) pale yellow needles, m. 70° (HCl salt, deep yellow crystals, m. 234°; picrolonate, m. 230°). Heating 25 g. 2,5-(MeO)2C6H3CHO, 20 g. EtCHO, and 15 g. fused EtCO2Na 48 h. at 140-50°, then heating the melt with 300 cc. 4N NaOH to boiling, washing with C6H6, and neutralizing the aqueous solution give 20 g. 2,5-(MeO)2C6H3CH:CMeCO2H, m. 114°, which, reduced with Na-Hg, gives almost 100% 2,5-(MeO)2C6H3CH2CHMeCO2H, m. 61-2° [amide, prepared via the acid chloride, 75%, m. 101.5°, gives with NaOCl in dioxane, 80% 2,5-(MeO)2C6H3CHMeCH2NH2, b3 140°, whose HCl salt, m. 118°, and Ac derivative (V), m. 111°]. Cyclization of 1 g. V with POCl3 gives 0.6 g. oily 1,3-dimethyl-5,8-dimethoxy-3,4-dihydroisoquinoline (VI) (HCl salt m. 177°; picrolonate, m. 185-6°). Refluxing 0.5 g. VI in 10 cc. Decalin with 50 mg. 5% Pd-C in a CO2 atmospheric 8 h., extracting the filtered solution with 4N HCl, and making the washed (ether) acid solution alkaline give 0.4 g. IVA. Passing dry HCl into 13 g. 2,5-(MeO)2C6H3COEt in 100 cc. ether and 9 g. BuNO2 and keeping the mixture overnight give 2 g. 2,5-(MeO)2C6H3COC(:NOH)Me, m. 106°, and, from the mother liquor, 5.48 g. of a stereoisomer, m. 98°; both, on reduction with Adams catalyst in EtOH containing 1 g. HCl, give 65% 2,5-(MeO)2C6H3COCH(NH2)Me.HCl, m. 176° [Ac derivative (VII), m. 114°]. Refluxing 1 g. VII in 10 cc. PhMe with 3 cc. POCl3 70 min. and extracting with CHCl3 give 0.4 g. 2,4-dimethyl-5-(2,5-dimethoxyphenyl)oxazole (HCl salt, m. 132°; picrolonate, m. 162°). Methylation of 2,1-CH2:CHCH2C10H6OH gives 2,1-CH2:CHCH2C10H6OMe, b11 150°, n20D 1.595; 2,1-MeCH:CHC10H6OMe b11 160-2°, n20D 1.625 [pseudonitrosite (7 g.), m. 128° (decomposition), treated with Ac2O and H2SO4 gives 6.5 g. 1,2-MeOC10H6CH(OAc)CH(NO2)Me, m. 88°, electrolytically reduced to

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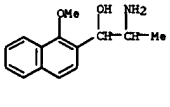
1,2-MeOC10H6CH(OH)CH(NHAc)Me (VIII), m. 115°]. Cyclization of 1 g. VIII and chromatog. purifn. give 0.35 g. 1,3-dimethyl-5-methoxybenz[g]isoquinoline (IX), pale yellow crystals, m. 118° (picrolonate, m. 228°). Treating 7 g. 5,2-Cl(MeO)C6H3CH(NO)CH(NO2)Me, with Ac2O and H2SO4 gives 7.5 g. 5,2-Cl(MeO)C6H3CH(OAc)CH(NO2)Me, m. 80°. Refluxing 1 g. 1,2-MeOC10H6CH(OH)CH(NHAc)Me in 40 cc. 1% HCl-MeOH 1 h., distg. off the MeOH, treating the residue with NaOH, extg. with ether, and passing HCl into the ext. give 0.6 g. of the free NH2 compd. as the HCl salt, m. 240°; picrate, m. 228°. By means of these methods the 3,5,2-RR'(MeO)C6H2CH2CH:CH2 (X), 3,5,2-RR'(MeO)C6H2CH:CHMe (XI), 3,5,2-RR'(MeO)C6H2CH(NO)CH(NO2)Me (XII), 3,5,2-RR'(MeO)C6H2CH(OH)CH(NHAc)Me (XIII), 1,3-dimethylisoquinolines (XIV), their HCl salts (XV), and picrolonates (XVI), and the 3,5,2RR'(MeO)C6H2CH(OH)CH(NH2)Me.HCl (XVII) and their picrates (XVIII), as listed in the table, are prepd. XVII, R = H, R' = MeO, m. 174°; XVIII, R = H, R' = MeO, m. 177°. X, XI, XII, XIII, XIV, XV, XVI, XVII, XVIII, ; R, R', B.p., °C./mm., n30D, Yield,%, B.p., °C./mm., n30D, Yield, %, M. p., °C., Yield, %, M. p., °C., M. p., °C., M. p., °C., M. p., °C.; H, H, 85/11, 1.525, .., 104/13, 1.560, 53, 130, 76, 174, 70, 124a, 221, 156, 122; H, Me, 106/14, 1.525, 80, 118/16, 1.547, 58, 132, 41, 135, 49, 174, 266, 182, 166; H, Cl, 135/25, 1.543, 72, 135/19, 1.566, 64, 116, 56, 204, 41, 217, 230, 186, 208; Me, H, 98/16, 1.518, 80, 95/14, 1.533, 20, 124, 49, 84, 53, 220, 242, 167, ..; Cl, H, 95/16, 1.536, 78, 108/11, 1.552, 21, 120, 43, 95, 50, 180, .., 176, 122; MeO, H, 120/13, 1.525, 80, 130/13, 1.552, 21, 126, 63, oil, 57, 212, 238, 194, 196; a Free base. The UV absorption curves of I and IX are given.

IT 6047-54-7, 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (and derivs.)

RN 6047-54-7 CAPLUS
CN 2-Naphthalenemethanol, α-(1-aminoethyl)-1-methoxy- (7CI, 8CI) (CA INDEX NAME)



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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